

aminomethyl, *N*-(tetrahydro-2*H*-pyran-4-ylmethyl)-aminomethyl, *N*-(tetrahydro-2*H*-pyranylethyl)-aminomethyl, *N*-(piperidin-4-ylmethyl)-aminomethyl, *N*-(*N*-methylpiperidin-4-ylmethyl)-aminomethyl, *N*-(*N*-*tert*-butoxycarbonylpiperidin-4-ylmethyl)-aminomethyl, *N*-(*N*-methylimidazol-5-ylmethyl)-aminomethyl, *N*-(*N*-methylimidazol-4-ylmethyl)-aminomethyl, *N*-[2-(imidazol-4-yl)-ethyl]-aminomethyl, *N*-[3-(imidazolyl)-propyl]-aminomethyl, *N*-(pyridin-3-ylethyl)-aminomethyl, *N*-(pyridin-4-ylethyl)-aminomethyl, *N*-(thien-2-ylethyl)-aminomethyl, *N*-(furan-2-ylethyl)-aminomethyl, *N*-(5-methyl-1,3,4-oxadiazol-2-ylmethyl)-aminomethyl, *N*-(2-indolin-3-ylethyl)-aminomethyl, 2-(*N,N*-dimethylamino)-ethylaminomethyl, 3-(*N,N*-dimethylamino)-1-methyl-ethylaminomethyl, 3-aminopropylaminomethyl, 3-(*N,N*-dimethylamino)-propylaminomethyl, 3-(*N,N*-diethylamino)-propylaminomethyl, *N*-(*N,N*-diisopropylaminoethyl)-aminomethyl, *N*-(*N,N*-dimethylaminobutyl)-aminomethyl, 3-hydroxypropylaminomethyl, *N*-(1,2-dihydroxypropyl)-aminomethyl, *N*-(1-amino-2-hydroxy-prop-3-yl)-aminomethyl, *N*-(*N*-ethoxycarbonyl-piperidin-4-yl)-aminomethyl, *N*-(*N*-benzylpiperidin-4-yl)-aminomethyl, *N*-(homopiperidin-3-yl)-aminomethyl, *N*-(*N*-benzylpyrrolidin-3-yl)-aminomethyl, *N*-(*N*-ethylpiperidin-3-yl)aminomethyl, 2,2,2-trifluoroethylaminomethyl, 3,3,3-trifluoropropylaminomethyl, 2,2,3,3,3-pentafluoropropylaminomethyl,  $-\text{CH}_2\text{N}(\text{CH}_2\text{CH}_2\text{OH})_2$ ,  $-\text{CH}_2\text{N}(\text{CH}_3)(\text{CH}_2\text{CH}_2\text{OH})$ ,  $-\text{CH}_2\text{NH}(\text{CH}_2\text{CH}_2\text{OH})$ ,  $-\text{CH}_2\text{NH}(\text{CH}_2\text{CH}_2\text{CH}_2\text{OH})$ ,  $-\text{CH}_2\text{NH}(\text{C}(\text{CH}_3)_2\text{CH}_2\text{OH})$ ,  $-\text{CH}_2\text{N}(\text{CH}_3)(\text{N-methyl-pyrrolidin-3-yl})$ ,  $-\text{C}(\text{O})\text{NH}_2$ ,  $-\text{C}(\text{O})\text{NHCH}_2\text{CH}=\text{CH}_2$ ,  $-\text{C}(\text{O})\text{NHCH}_2\text{CH}(\text{OH})\text{CH}_2\text{OH}$ , *N*-(phenoxyethyl)-aminomethyl,  $-\text{CH}_2\text{NHC}(\text{O})\text{CH}_3$ ,  $-\text{CH}(\text{CH}_3)\text{NHC}(\text{O})\text{CH}_3$ ,  $-\text{CH}(\text{CH}_3)\text{NHC}(\text{O})\text{C}(\text{OCH}_3)(\text{CF}_3)\text{phenyl}$ , cyclopentyl, 1-amino-cyclopentyl, (*cis,trans*)-2-amino-cyclopentyl, (*cis,trans*)-2-amino-cyclopentyl, *cis*-2-amino-cyclopentyl, *trans*-2-amino-cyclopentyl, (*cis,trans*)-2-hydroxy-cyclohexyl, *cis*-2-hydroxy-cyclohexyl, *trans*-2-hydroxy-cyclohexyl, (*cis,trans*)-2-amino-cyclohexyl, *cis*-2-amino-cyclohexyl, *trans*-2-amino-cyclohexyl, azetidin-3-yl, pyrrolidinyl, *N*-methyl-pyrrolidin-2-yl, *N*-ethyl-pyrrolidin-2-yl, 3-(dimethylamino)-pyrrolidinyl, piperidinyl, 2-methyl-piperidin-6-yl, *N*-methylpiperidin-2-yl, *N*-*tert*-butoxycarbonylpiperidin-2-yl, piperazin-2-yl, pyrrol-1-yl, pyrrol-2-yl, pyrrol-3-yl, imidazol-1-yl, imidazol-2-yl, imidazol-4-yl, imidazol-5-yl, *N*-methyl-imidazol-2-yl, 5-methyl-imidazol-2-yl, 1,2,4-triazol-3-yl, thiazol-2-yl, 2-aminopyrimidin-3-yl, pyridin-2-yl, pyridin-3-yl, pyridin-4-yl, benzimidazolyl, imidazol-1-ylmethyl, imidazol-2-ylmethyl, triazol-1-ylmethyl, (5-amino-3-methyl-pyrazol-3-yl)-methyl,

phenoxymethyl, 2-hydroxyethylloxymethyl, methylsulfonylaminomethyl, 1-(methoxycarbonylamino)-ethyl, 1-amino-1-phenyl-methyl, or 1-amino-3-hydroxy-propyl.

[00196] Another embodiment of the Invention (A11) is that where the compound of Formula I is selected from Group A where  $R^3$  and  $R^4$  together with the carbon to which they are attached form  $C(O)$  or  $C(=NOH)$ . In another embodiment, X and  $R^7$  are halo; A is phenylene optionally substituted with  $R^{10}$  and  $R^{12}$  where  $R^{10}$  and  $R^{12}$  are independently hydrogen or halo;  $R^1$ ,  $R^2$ ,  $R^5$  and  $R^6$  are hydrogen; and  $R^3$  and  $R^4$  together with the carbon to which they are attached form  $C(O)$  or  $C(=NOH)$ .

[00197] Another embodiment of the Invention (A12) is that where the compound of Formula I is selected from Group A where X and  $R^7$  are halo; A is phenylene optionally substituted with  $R^{10}$  and  $R^{12}$  where  $R^{10}$  and  $R^{12}$  are independently hydrogen or halo; and  $R^1$ ,  $R^2$ ,  $R^4$ ,  $R^5$  and  $R^6$  are hydrogen.

[00198] Another embodiment of the Invention (A13) is that where the compound of Formula I is selected from Group A where A is phenylene.

[00199] Another embodiment of the Invention (A14) is that where the compound of Formula I is selected from Group A where  $R^1$  is hydrogen and  $R^2$  is alkyl substituted with  $-NR^8R^8$  where  $R^8$  and  $R^8$  and all other groups are as defined in the Summary of the Invention for a compound of Group A.

[00200] Another embodiment of the Invention (A15) is that where the compound of Formula I is selected from Group A where A is phenylene;  $R^7$  is iodo or bromo; X is fluoro or chloro; and  $R^1$ ,  $R^2$ ,  $R^5$ , and  $R^6$  are hydrogen; and  $R^{10}$ ,  $R^{12}$ ,  $R^{14}$ , and  $R^{16}$  are independently hydrogen or fluoro. In another embodiment,  $R^{10}$  is 3-fluoro and  $R^{12}$ ,  $R^{14}$ , and  $R^{16}$  are hydrogen or halo;  $R^{10}$  is 3-fluoro,  $R^{12}$  is 4-fluoro, and  $R^{14}$  and  $R^{16}$  are hydrogen;  $R^{10}$  is 4-fluoro,  $R^{12}$  is 5-fluoro, and  $R^{14}$  and  $R^{16}$  are hydrogen;  $R^{10}$  is 4-fluoro,  $R^{12}$  is 6-fluoro, and  $R^{14}$  and  $R^{16}$  are hydrogen; or  $R^{12}$  is 4-fluoro and  $R^{10}$ ,  $R^{14}$ , and  $R^{16}$  are hydrogen.

[00201] In another embodiment of the invention is a compound of Formula selected from Group A where  $R^3$  is hydroxy and  $R^4$  is heterocycloalkyl, alkyl, or heteroaryl, where the alkyl is optionally substituted with  $-NR^8R^8$  (where  $R^8$  is hydrogen or alkyl and  $R^8$  is hydrogen, alkyl, or cycloalkyl where the cycloalkyl is optionally substituted with groups independently selected from hydroxy and alkyl) and the heteroaryl is optionally substituted with alkyl. In another embodiment,  $R^3$  is hydroxy and  $R^4$  is heterocycloalkyl or alkyl, where the alkyl is optionally substituted

with  $-\text{NR}^8\text{R}^8$  (where  $\text{R}^8$  is hydrogen or alkyl and  $\text{R}^8$  is hydrogen, alkyl, or cycloalkyl where the cycloalkyl is optionally substituted with groups independently selected from hydroxy and alkyl).

[00202] In another embodiment of the Invention (B1) the compound of Formula I is selected from Group B where all groups are as defined in the Summary of the Invention.

[00203] In another embodiment of the invention (B2), the Compound of Formula I is that where X and  $\text{R}^7$  are halo; and all other groups are as defined in the Summary of the Invention for a compound of Group B. In another embodiment, X is fluoro or chloro and  $\text{R}^7$  is iodo or bromo.

[00204] In another embodiment of the invention (B3), the compound of Formula I is selected from Group B where  $\text{R}^3$  is halo, nitro,  $-\text{NR}^8\text{R}^8$ ,  $-\text{OR}^8$ ,  $-\text{NHS}(\text{O})_2\text{R}^8$ ,  $-\text{CN}$ ,  $-\text{S}(\text{O})_m\text{R}^8$ ,  $-\text{S}(\text{O})_2\text{NR}^8\text{R}^8$ ,  $-\text{C}(\text{O})\text{R}^8$ ,  $-\text{C}(\text{O})\text{OR}^8$ ,  $-\text{C}(\text{O})\text{NR}^8\text{R}^8$ ,  $-\text{NR}^8\text{C}(\text{O})\text{OR}^8$ ,  $-\text{NR}^8\text{C}(\text{O})\text{NR}^8\text{R}^8$ ,  $-\text{NR}^8\text{C}(\text{O})\text{OR}^8$ ,  $-\text{NR}^8\text{C}(\text{O})\text{R}^8$ ,  $-\text{CH}_2\text{N}(\text{R}^{25})(\text{NR}^{25a}\text{R}^{25b})$ ,  $-\text{CH}_2\text{NR}^{25}\text{C}(=\text{NH})(\text{NR}^{25a}\text{R}^{25b})$ ,  $-\text{CH}_2\text{NR}^{25}\text{C}(=\text{NH})(\text{N}(\text{R}^{25a})(\text{NO}_2))$ ,  $-\text{CH}_2\text{NR}^{25}\text{C}(=\text{NH})(\text{N}(\text{R}^{25a})(\text{CN}))$ ,  $-\text{CH}_2\text{NR}^{25}\text{C}(=\text{NH})(\text{R}^{25})$ ,  $-\text{CH}_2\text{NR}^{25}\text{C}(\text{NR}^{25a}\text{R}^{25b})=\text{CH}(\text{NO}_2)$ , alkyl, alkenyl, alkynyl, cycloalkyl, heteroaryl, and heterocycloalkyl; where the alkyl, alkenyl, alkynyl, cycloalkyl, heteroaryl, and heterocycloalkyl are independently optionally substituted with one, two, three, four, five, six or seven groups independently selected from halo, alkyl, haloalkyl, nitro, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted aryl, optionally substituted arylalkyl, optionally substituted heteroaryl, optionally substituted heteroarylalkyl,  $-\text{OR}^8$ ,  $-\text{NR}^8\text{R}^8$ ,  $-\text{NR}^8\text{S}(\text{O})_2\text{R}^8$ ,  $-\text{CN}$ ,  $-\text{S}(\text{O})_m\text{R}^8$ ,  $-\text{C}(\text{O})\text{R}^8$ ,  $-\text{C}(\text{O})\text{OR}^8$ ,  $-\text{C}(\text{O})\text{NR}^8\text{R}^8$ ,  $-\text{NR}^8\text{C}(\text{O})\text{OR}^8$  and  $-\text{NR}^8\text{C}(\text{O})\text{R}^8$  and  $\text{R}^4$  is as defined in the Summary of the Invention; or  $\text{R}^3$  and  $\text{R}^4$  together with the carbon to which they are attached form  $\text{C}(\text{O})$  or  $\text{C}(=\text{NOH})$ ; and all other groups are as defined in the Summary of the Invention for a compound of Group B. In another embodiment,  $\text{R}^1$ ,  $\text{R}^2$ ,  $\text{R}^5$  and  $\text{R}^6$  are hydrogen; and X and  $\text{R}^7$  are halo.

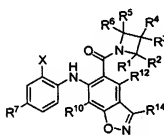
[00205] In another embodiment of the invention (B4), the compound of Formula I is selected from Group B where  $\text{R}^3$  and  $\text{R}^4$  are independently halo, nitro,  $-\text{NR}^8\text{R}^8$ ,  $-\text{OR}^8$ ,  $-\text{NHS}(\text{O})_2\text{R}^8$ ,  $-\text{CN}$ ,  $-\text{S}(\text{O})_m\text{R}^8$ ,  $-\text{S}(\text{O})_2\text{NR}^8\text{R}^8$ ,  $-\text{C}(\text{O})\text{R}^8$ ,  $-\text{C}(\text{O})\text{OR}^8$ ,  $-\text{C}(\text{O})\text{NR}^8\text{R}^8$ ,  $-\text{NR}^8\text{C}(\text{O})\text{OR}^8$ ,  $-\text{NR}^8\text{C}(\text{O})\text{NR}^8\text{R}^8$ ,  $-\text{NR}^8\text{C}(\text{O})\text{OR}^8$ ,  $-\text{NR}^8\text{C}(\text{O})\text{R}^8$ ,  $-\text{CH}_2\text{N}(\text{R}^{25})(\text{NR}^{25a}\text{R}^{25b})$ ,  $-\text{CH}_2\text{NR}^{25}\text{C}(=\text{NH})(\text{NR}^{25a}\text{R}^{25b})$ ,  $-\text{CH}_2\text{NR}^{25}\text{C}(=\text{NH})(\text{N}(\text{R}^{25a})(\text{NO}_2))$ ,  $-\text{CH}_2\text{NR}^{25}\text{C}(=\text{NH})(\text{N}(\text{R}^{25a})(\text{CN}))$ ,

-CH<sub>2</sub>NR<sup>25</sup>C(=NH)(R<sup>25</sup>), -CH<sub>2</sub>NR<sup>25</sup>C(NR<sup>25a</sup>R<sup>25b</sup>)=CH(NO<sub>2</sub>), alkyl, alkenyl, alkynyl, cycloalkyl, heteroaryl, or heterocycloalkyl; where the alkyl, alkenyl, alkynyl, cycloalkyl, heteroaryl, and heterocycloalkyl are independently optionally substituted with one, two, three, four, five, six or seven groups independently selected from halo, alkyl, haloalkyl, nitro, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted aryl, optionally substituted arylalkyl, optionally substituted heteroaryl, optionally substituted heteroarylalkyl, -OR<sup>8</sup>, -NR<sup>8</sup>R<sup>8'</sup>, -NR<sup>8</sup>S(O)<sub>2</sub>R<sup>9</sup>, -CN, -S(O)<sub>m</sub>R<sup>9</sup>, -C(O)R<sup>8</sup>, -C(O)OR<sup>8</sup>, -C(O)NR<sup>8</sup>R<sup>8'</sup>, -NR<sup>8</sup>C(O)NR<sup>8</sup>R<sup>8''</sup>, -NR<sup>8</sup>C(O)OR<sup>8</sup> and -NR<sup>8</sup>C(O)R<sup>8</sup>; or R<sup>3</sup> and R<sup>4</sup> together with the carbon to which they are attached form C(O) or C(=NOH); and all other groups are as defined in the Summary of the Invention for a compound of Group B. In another embodiment, R<sup>1</sup>, R<sup>2</sup>, R<sup>5</sup> and R<sup>6</sup> are hydrogen; and X and R<sup>7</sup> are halo.

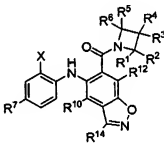
[00206] In another embodiment of the invention (B5), the Compound of Formula I is that where A is heteroarylene selected from thien-diyl, benzo[d]isoxazol-diyl, benzo[d]isothiazol-diyl, 1H-indazol-diyl (optionally substituted at the N1 position with R<sup>19</sup> where R<sup>19</sup> is as defined in the Summary of the Invention for a compound of Group B), benzo[d]oxazol-diyl, benzo[d]thiazol-diyl, 1H-benzo[d]imidazol-diyl (optionally substituted at the N1 position with R<sup>19</sup> where R<sup>19</sup> is as defined in the Summary of the Invention for a compound of Group B), 1H-benzo[d][1,2,3]triazol-diyl (optionally substituted at the N1 position with R<sup>19</sup> where R<sup>19</sup> is as defined in the Summary of the Invention for a compound of Group B), imidazo[1,2-a]pyridin-diyl, cinnolin-diyl, quinolin-diyl, pyridin-diyl, 1-oxido-pyridin-diyl, [1,2,4]triazolo[4,3-a]pyridin-diyl, and 2,3-dihydroimidazo[1,2-a]pyridin-diyl; and A is further optionally substituted with one, two, three, or four groups selected from R<sup>10</sup>, R<sup>12</sup>, R<sup>14</sup>, and R<sup>16</sup> where R<sup>10</sup>, R<sup>12</sup>, R<sup>14</sup>, and R<sup>16</sup> and all other groups are as defined in the Summary of the Invention for a compound of Group B. In another embodiment A is selected from thien-3,4-diyl, benzo[d]isoxazol-5,6-diyl, benzo[d]isothiazol-5,6-diyl, 1H-indazol-5,6-diyl (optionally substituted at the N1 position with R<sup>19</sup> where R<sup>19</sup> is alkyl or alkenyl), benzo[d]oxazol-5,6-diyl, benzo[d]thiazol-5,6-diyl, 1H-benzo[d]imidazol-5,6-diyl (optionally substituted at the N1 position with R<sup>19</sup> where R<sup>19</sup> is alkyl or alkenyl), 1H-benzo[d][1,2,3]triazol-5,6-diyl (optionally substituted at the N1 position with R<sup>19</sup> where R<sup>19</sup> is alkyl or alkenyl), imidazo[1,2-a]pyridin-5,6-diyl, cinnolin-6,7-diyl, quinolin-6,7-diyl, pyridin-3,4-diyl, 1-oxido-pyridin-3,4-diyl, [1,2,4]triazolo[4,3-a]pyridin-6,7-diyl, and 2,3-dihydroimidazo[1,2-a]pyridin-6,7-diyl.

[00207] In another embodiment of the Invention (B6), the compound of Formula I is selected from Group B where A is thien-diyl and X, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>10</sup>, and R<sup>12</sup> are as defined in the Summary of the Invention for a compound of Group B. In another embodiment A is thien-3,4-diyl; R<sup>10</sup> and R<sup>12</sup> are hydrogen; X and R<sup>7</sup> are halo; and R<sup>1</sup>, R<sup>2</sup>, R<sup>5</sup>, and R<sup>6</sup> are hydrogen. In another embodiment, X is fluoro or chloro; R<sup>7</sup> is iodo or bromo; R<sup>3</sup> is hydrogen or hydroxy; and R<sup>4</sup> is -NR<sup>8</sup>R<sup>8'</sup> (where R<sup>8</sup> and R<sup>8'</sup> are independently hydrogen or alkyl), heterocycloalkyl, heteroaryl (optionally substituted with alkyl), or alkyl where the alkyl is optionally substituted with -NR<sup>8</sup>R<sup>8'</sup> (where R<sup>8</sup> is hydrogen or alkyl and R<sup>8'</sup> is hydrogen, alkyl, or cycloalkyl where the cycloalkyl is optionally substituted with one or two groups independently selected from hydroxy and alkyl).

[00208] In another embodiment (B7), the compound of Formula I is more specifically according to Formula I(c) or I(d)



I(c);

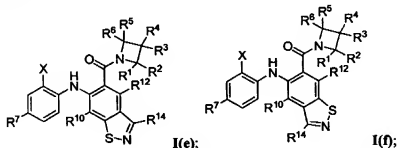


I(d);

where X, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>10</sup>, R<sup>12</sup> and R<sup>14</sup> are as defined in the Summary of the Invention for a compound of Group B. In another embodiment, R<sup>1</sup>, R<sup>2</sup>, R<sup>5</sup>, and R<sup>6</sup> are hydrogen; X and R<sup>7</sup> are halo; R<sup>3</sup> and R<sup>4</sup> are as defined in the Summary of the Invention for Group B; and R<sup>10</sup>, R<sup>12</sup>, and R<sup>14</sup> are independently hydrogen, halo, or alkyl. In another embodiment, X is fluoro or chloro and R<sup>7</sup> is iodo or bromo; R<sup>10</sup> is hydrogen or halo, in another embodiment hydrogen or fluoro; R<sup>12</sup> is hydrogen; R<sup>14</sup> is hydrogen or alkyl; and R<sup>3</sup> is hydroxy. In another embodiment, R<sup>4</sup> is heterocycloalkyl, alkyl, or heteroaryl, where the alkyl is optionally substituted with -NR<sup>8</sup>R<sup>8'</sup> (where R<sup>8</sup> is hydrogen or alkyl and R<sup>8'</sup> is hydrogen, alkyl, or cycloalkyl where the cycloalkyl is optionally substituted with groups independently selected from hydroxy and alkyl) and the heteroaryl is optionally substituted with alkyl. In another embodiment, R<sup>4</sup> is piperidinyl, pyrrolidinyl, 1(R,S)-amino-ethyl, 1(R)-amino-ethyl, 1(S)-amino-ethyl, 1(R,S)-(methylamino)-ethyl, 1(R)-(methylamino)-ethyl, 1(S)-(methylamino)-ethyl, 1(R,S)-(dimethylamino)-ethyl, 1(R)-(dimethylamino)-ethyl, 1(S)-(dimethylamino)-

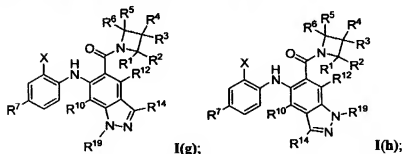
ethyl, 1(*R,S*)-(3,4-*cis*-dihydroxy-cyclopentylamino)-ethyl, 1(*R*)-(3,4-*cis*-dihydroxy-cyclopentylamino)-ethyl, or 1(*S*)-(3,4-*cis*-dihydroxy-cyclopentylamino)-ethyl.

**[00209]** In another embodiment of the Invention (B8), the compound of Formula I is more specifically according to Formula I(e) or I(f):



where X, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>10</sup>, R<sup>12</sup> and R<sup>14</sup> are as defined in the Summary of the Invention for a compound of Group B. In another embodiment, R<sup>1</sup>, R<sup>2</sup>, R<sup>5</sup>, and R<sup>6</sup> are hydrogen; X and R<sup>7</sup> are halo; R<sup>3</sup> and R<sup>4</sup> are as defined in the Summary of the Invention for Group B; and R<sup>10</sup>, R<sup>12</sup>, and R<sup>14</sup> are independently hydrogen, halo, or alkyl. In another embodiment, X is fluoro or chloro and R<sup>7</sup> is iodo or bromo; R<sup>10</sup> is hydrogen or halo, in another embodiment hydrogen or fluoro; R<sup>12</sup> and R<sup>14</sup> are hydrogen; R<sup>3</sup> is hydroxy; and R<sup>4</sup> is heterocycloalkyl, alkyl, or heteroaryl, where the alkyl is optionally substituted with -NR<sup>8</sup>R<sup>9</sup> (where R<sup>8</sup> is hydrogen or alkyl and R<sup>9</sup> is hydrogen, alkyl, or cycloalkyl where the cycloalkyl is optionally substituted with one or two groups independently selected from hydroxy and alkyl) and the heteroaryl is optionally substituted with alkyl.

**[00210]** In another embodiment of the Invention (B9), the compound of Formula I is in another embodiment according to Formula I(g) or I(h):



where X, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>10</sup>, R<sup>12</sup>, R<sup>14</sup>, and R<sup>19</sup> are as defined in the Summary of the Invention for a compound of Group B.

[00211] In another embodiment of embodiment B9, the compound of Formula I is more specifically according to Formula I(g) or I(h) where

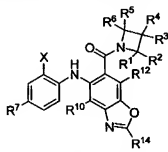
$R^3$  is halo, nitro,  $-NR^8R^8$ ,  $-OR^8$ ,  $-NHS(O)_2R^8$ ,  $-CN$ ,  $-S(O)_mR^8$ ,  $-S(O)_2NR^8R^8$ ,  $-C(O)R^8$ ,  $-C(O)OR^8$ ,  $-C(O)NR^8R^8$ ,  $-NR^8C(O)OR^8$ ,  $-NR^8C(O)NR^8R^8$ ,  $-NR^8C(O)OR^8$ ,  $-NR^8C(O)R^8$ ,  $-CH_2N(R^{25})(NR^{25a}R^{25b})$ ,  $-CH_2NR^{25}C(=NH)(NR^{25a}R^{25b})$ ,  $-CH_2NR^{25}C(=NH)(R^{25a})(NO_2)$ ,  $-CH_2NR^{25}C(=NH)(N(R^{25a})(CN))$ ,  $-CH_2NR^{25}C(=NH)(R^{25})$ ,  $-CH_2NR^{25}C(NR^{25a}R^{25b})=CH(NO_2)$ , cycloalkyl, heteroaryl, or heterocycloalkyl; where the cycloalkyl, heteroaryl, and heterocycloalkyl are optionally substituted with one, two, three, four, five, six or seven groups independently selected from halo, alkyl, haloalkyl, nitro, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted aryl, optionally substituted arylalkyl, optionally substituted heteroaryl, optionally substituted heteroarylalkyl,  $-OR^8$ ,  $-NR^8R^8$ ,  $-NR^8S(O)_2R^9$ ,  $-CN$ ,  $-S(O)_mR^9$ ,  $-C(O)R^8$ ,  $-C(O)OR^8$ ,  $-C(O)NR^8R^8$ ,  $-NR^8C(O)NR^8R^8$ ,  $-NR^8C(O)OR^8$  and  $-NR^8C(O)R^8$ ; and  $R^4$  is as defined in the Summary of the Invention; or  $R^3$  and  $R^4$  together with the carbon to which they are attached form  $C(O)$  or  $C(=NOH)$ ; and

all other groups are as defined in the Summary of the Invention for a compound of Group B.

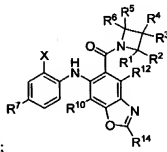
[00212] In another embodiment of embodiment B9, the compound of Formula I is more specifically according to Formula I(g) or I(h) where  $R^3$  is hydroxy and all other groups are as defined in the Summary of the Invention for a compound of Group B.

[00213] In another embodiment of embodiment B9, the compound of Formula I is more specifically according to Formula I(g) or I(h) where  $R^1$ ,  $R^2$ ,  $R^5$ , and  $R^6$  are hydrogen;  $X$  and  $R^7$  are halo;  $R^3$  and  $R^4$  are as defined in the Summary of the Invention for Group B;  $R^{10}$ ,  $R^{12}$ , and  $R^{14}$  are independently hydrogen, halo, or alkyl; and  $R^{19}$  is hydrogen or methyl. In another embodiment,  $X$  is fluoro or chloro and  $R^7$  is iodo or bromo;  $R^{10}$  is hydrogen or halo, in another embodiment hydrogen or fluoro;  $R^{12}$  and  $R^{14}$  are hydrogen;  $R^3$  is hydroxy; and  $R^4$  is heterocycloalkyl, alkyl, or heteroaryl, where the alkyl is optionally substituted with  $-NR^8R^8$  (where  $R^8$  is hydrogen or alkyl and  $R^8$  is hydrogen, alkyl, or cycloalkyl where the cycloalkyl is optionally substituted with one or two groups independently selected from hydroxy and alkyl) and the heteroaryl is optionally substituted with alkyl.

[00214] In another embodiment of the Invention (B10), the compound of Formula I is more specifically according to Formula I(i) or I(j):



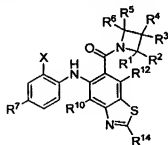
I(i);



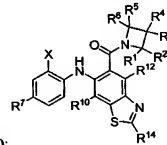
I(j);

where X, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>10</sup>, R<sup>12</sup> and R<sup>14</sup> are as defined in the Summary of the Invention for a compound of Group B. In another embodiment, R<sup>1</sup>, R<sup>2</sup>, R<sup>5</sup>, and R<sup>6</sup> are hydrogen; X and R<sup>7</sup> are halo; R<sup>3</sup> and R<sup>4</sup> are as defined in the Summary of the Invention for Group B; and R<sup>10</sup>, R<sup>12</sup>, and R<sup>14</sup> are independently hydrogen, halo, or alkyl. In another embodiment, X is fluoro or chloro and R<sup>7</sup> is iodo or bromo; R<sup>10</sup> is hydrogen or halo, in another embodiment hydrogen or fluoro; R<sup>12</sup> and R<sup>14</sup> are hydrogen; R<sup>3</sup> is hydroxy; and R<sup>4</sup> is heterocycloalkyl, alkyl, or heteroaryl, where the alkyl is optionally substituted with -NR<sup>8</sup>R<sup>8'</sup> (where R<sup>8</sup> is hydrogen or alkyl and R<sup>8'</sup> is hydrogen, alkyl, or cycloalkyl where the cycloalkyl is optionally substituted with one or two groups independently selected from hydroxy and alkyl) and the heteroaryl is optionally substituted with alkyl.

[00215] In another embodiment of the Invention (B11), the compound of Formula I is more specifically according to Formula I(k) or I(m):



I(k);



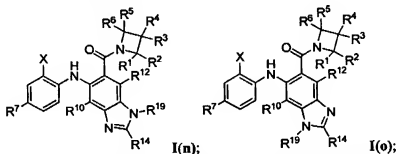
I(m);

where X, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>10</sup>, R<sup>12</sup> and R<sup>14</sup> are as defined in the Summary of the Invention for a compound of Group B. In another embodiment, R<sup>1</sup>, R<sup>2</sup>, R<sup>5</sup>, and R<sup>6</sup> are hydrogen; X and R<sup>7</sup> are halo; R<sup>3</sup> and R<sup>4</sup> are as defined in the Summary of the Invention for Group B; and R<sup>10</sup>, R<sup>12</sup>, and R<sup>14</sup> are independently hydrogen, halo, or alkyl. In another embodiment, X is fluoro or chloro and R<sup>7</sup> is iodo or bromo; R<sup>10</sup> is hydrogen or halo, in another embodiment hydrogen or fluoro; R<sup>12</sup> and R<sup>14</sup> are



hydrogen; R<sup>3</sup> is hydroxy; and R<sup>4</sup> is heterocycloalkyl, alkyl, or heteroaryl, where the alkyl is optionally substituted with -NR<sup>a</sup>R<sup>b</sup> (where R<sup>a</sup> is hydrogen or alkyl and R<sup>b</sup> is hydrogen, alkyl, or cycloalkyl where the cycloalkyl is optionally substituted with one or two groups independently selected from hydroxy and alkyl) and the heteroaryl is optionally substituted with alkyl.

**[00216]** In another embodiment of the Invention (B12), the compound of Formula I is more specifically according to Formula I(n) or I(o):



where X, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>10</sup>, R<sup>12</sup>, R<sup>14</sup>, and R<sup>19</sup> are as defined in the Summary of the Invention for a compound of Group B.

[00217] In another embodiment of embodiment B12, the compound of Formula I is more specifically according to Formula I(n) or I(o) where R<sup>7</sup> is halo or alkyl; and all other groups are as defined in the Summary of the Invention for a compound of Group B. In another embodiment, R<sup>7</sup> is iodo or bromo.

**[00218]** In another embodiment of embodiment B12, the compound of Formula I is more specifically according to Formula I(n) or I(o) where X is halo, haloalkyl, or haloalkoxy; and all other groups are as defined in the Summary of the Invention for a compound of Group B. In another embodiment, X is halo. In another embodiment X is fluoro or chloro.

**[00219]** In another embodiment of embodiment B12, the compound of Formula I is more specifically according to Formula I(n) or I(o) where  $R^3$  is halo, nitro,  $-NR^6R^8$ ,  $-OR^8$ ,  $-NHS(O)_2R^8$ ,  $-CN$ ,  $-S(O)_mR^8$ ,  $-S(O)_2NR^6R^8$ ,

$$-C(O)R^8, -C(O)OR^8, -C(O)NR^8R^8', -NR^8C(O)OR^8', -NR^8C(O)NR^8R^8'.$$
$$-\text{NR}^8\text{C}(\text{O})\text{OR}^8, -\text{NR}^8\text{C}(\text{O})\text{R}^8, -\text{CH}_2\text{N}(\text{R}^{25})(\text{NR}^{25a}\text{R}^{25b}),$$
$$-\text{CH}_2\text{NR}^{25}\text{C}(=\text{NH})(\text{NR}^{25a}\text{R}^{25b}), -\text{CH}_2\text{NR}^{25}\text{C}(=\text{NH})(\text{N}(\text{R}^{25a})(\text{NO}_2),$$
$$-\text{CH}_2\text{NR}^{25}\text{C}(=\text{NH})(\text{N}(\text{R}^{25a})(\text{CN})), -\text{CH}_2\text{NR}^{25}\text{C}(=\text{NH})(\text{R}^{25}),$$
$$-\text{CH}_2\text{NR}^{25}\text{C}(\text{NR}^{25a}\text{R}^{25b})=\text{CH}(\text{NO}_2), \text{ alkyl, alkenyl, alkynyl, cycloalkyl,}$$

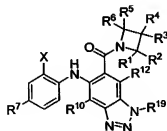
heteroaryl, or heterocycloalkyl; where the alkyl, alkenyl, alkynyl, cycloalkyl,

heteroaryl, and heterocycloalkyl are independently optionally substituted with one, two, three, four, five, six or seven groups independently selected from halo, alkyl, haloalkyl, nitro, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted aryl, optionally substituted arylalkyl, optionally substituted heteroaryl, optionally substituted heteroarylalkyl,  $-\text{OR}^8$ ,  $-\text{NR}^8\text{R}^{8'}$ ,  $-\text{NR}^8\text{S}(\text{O})_2\text{R}^9$ ,  $-\text{CN}$ ,  $-\text{S}(\text{O})_m\text{R}^9$ ,  $-\text{C}(\text{O})\text{R}^8$ ,  $-\text{C}(\text{O})\text{OR}^8$ ,  $-\text{C}(\text{O})\text{NR}^8\text{R}^{8'}$ ,  $-\text{NR}^8\text{C}(\text{O})\text{NR}^8\text{R}^{8''}$ ,  $-\text{NR}^8\text{C}(\text{O})\text{OR}^{8'}$  and  $-\text{NR}^8\text{C}(\text{O})\text{R}^{8'}$ ; and  $\text{R}^4$  is as defined in the Summary of the Invention; or  $\text{R}^3$  and  $\text{R}^4$  together with the carbon to which they are attached form  $\text{C}(\text{O})$  or  $\text{C}(=\text{NOH})$ ; and

unless otherwise indicated,  $\text{R}^8$  and  $\text{R}^{8'}$  are as defined in the Summary of the Invention; and all other groups are as defined in the Summary of the Invention for a compound of Group B.

[00220] In another embodiment of embodiment B12, the compound of Formula I is more specifically according to Formula I(n) or I(o) where  $\text{R}^{19}$  is alkyl;  $\text{R}^1$ ,  $\text{R}^2$ ,  $\text{R}^5$ , and  $\text{R}^6$  are hydrogen; X and  $\text{R}^7$  are halo;  $\text{R}^3$  and  $\text{R}^4$  are as defined in the Summary of the Invention for Group B; and  $\text{R}^{10}$ ,  $\text{R}^{12}$ , and  $\text{R}^{14}$  are independently hydrogen or halo. In another embodiment,  $\text{R}^{19}$  is methyl; X is fluoro or chloro and  $\text{R}^7$  is iodo or bromo;  $\text{R}^{10}$  is hydrogen or fluoro;  $\text{R}^{12}$  and  $\text{R}^{14}$  are hydrogen; and  $\text{R}^3$  is hydroxy. In another embodiment,  $\text{R}^4$  is heterocycloalkyl, alkyl, or heteroaryl, where the alkyl is optionally substituted with  $-\text{NR}^8\text{R}^{8'}$  (where  $\text{R}^8$  is hydrogen or alkyl and  $\text{R}^{8'}$  is hydrogen, alkyl, or cycloalkyl where the cycloalkyl is optionally substituted with one or two groups independently selected from hydroxy and alkyl) and the heteroaryl is optionally substituted with alkyl. In another embodiment,  $\text{R}^4$  is piperidinyl, pyrrolidinyl, 1(*R,S*)-amino-ethyl, 1(*R*)-amino-ethyl, 1(*S*)-amino-ethyl, 1(*R,S*)-(methylamino)-ethyl, 1(*R*)-(methylamino)-ethyl, 1(*S*)-(methylamino)-ethyl, 1(*R,S*)-(dimethylamino)-ethyl, 1(*R*)-(dimethylamino)-ethyl, 1(*S*)-(dimethylamino)-ethyl, 1(*R,S*)-(3,4-cis-dihydroxy-cyclopentylamino)-ethyl, 1(*R*)-(3,4-cis-dihydroxy-cyclopentylamino)-ethyl, or 1(*S*)-(3,4-cis-dihydroxy-cyclopentylamino)-ethyl.

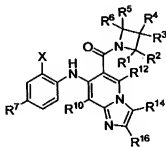
[00221] In another embodiment of the Invention (B13), the compound of Formula I is more specifically according to Formula I(p):



I(p)

where X, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>10</sup>, R<sup>12</sup>, and R<sup>19</sup> are as defined in the Summary of the Invention for a compound of Group B. In another embodiment, R<sup>1</sup>, R<sup>2</sup>, R<sup>5</sup>, and R<sup>6</sup> are hydrogen; X and R<sup>7</sup> are halo; R<sup>3</sup> and R<sup>4</sup> are as defined in the Summary of the Invention for Group B; and R<sup>10</sup> and R<sup>12</sup> are independently hydrogen, halo, or alkyl. In another embodiment, X is fluoro or chloro; R<sup>7</sup> is iodo or bromo; R<sup>10</sup> is hydrogen or halo, in another embodiment hydrogen or fluoro; R<sup>12</sup> is hydrogen; R<sup>19</sup> is hydrogen or alkyl, in another embodiment hydrogen or methyl; R<sup>3</sup> is hydroxy. In another embodiment, R<sup>4</sup> is heterocycloalkyl, alkyl, or heteroaryl, where the alkyl is optionally substituted with -NR<sup>8</sup>R<sup>8'</sup> (where R<sup>8</sup> is hydrogen or alkyl and R<sup>8'</sup> is hydrogen, alkyl, or cycloalkyl where the cycloalkyl is optionally substituted with one or two groups independently selected from hydroxy and alkyl) and the heteroaryl is optionally substituted with alkyl. In another embodiment, R<sup>4</sup> is piperidinyl, pyrrolidinyl, 1(*R,S*)-amino-ethyl, 1(*R*)-amino-ethyl, 1(*S*)-amino-ethyl, 1(*R,S*)-(methylamino)-ethyl, 1(*R*)-(methylamino)-ethyl, 1(*S*)-(methylamino)-ethyl, 1(*R,S*)-(dimethylamino)-ethyl, 1(*R*)-(dimethylamino)-ethyl, 1(*S*)-(dimethylamino)-ethyl, 1(*R,S*)-(3,4-cis-dihydroxy-cyclopentylamino)-ethyl, 1(*R*)-(3,4-cis-dihydroxy-cyclopentylamino)-ethyl, or 1(*S*)-(3,4-cis-dihydroxy-cyclopentylamino)-ethyl.

[00222] In another embodiment of the Invention (B14), the compound of Formula I is more specifically according to Formula I(q):



I(q)

where X, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>10</sup>, R<sup>12</sup>, R<sup>14</sup>, and R<sup>16</sup> are as defined in the Summary of the Invention for a compound of Group B.

[00223] In another embodiment of embodiment B14, the compound of Formula I is more specifically according to Formula I(q) where

R<sup>3</sup> is halo, nitro, -NR<sup>8</sup>R<sup>8'</sup>, -OR<sup>8</sup>, -NHS(O)<sub>2</sub>R<sup>8</sup>, -CN, -S(O)<sub>m</sub>R<sup>8</sup>, -S(O)<sub>2</sub>NR<sup>8</sup>R<sup>8'</sup>, -C(O)R<sup>8</sup>, -C(O)OR<sup>8</sup>, -C(O)NR<sup>8</sup>R<sup>8'</sup>, -NR<sup>8</sup>C(O)OR<sup>8'</sup>, -NR<sup>8</sup>C(O)NR<sup>8</sup>R<sup>8''</sup>, -NR<sup>8</sup>C(O)OR<sup>8'</sup>, -NR<sup>8</sup>C(O)R<sup>8'</sup>, -CH<sub>2</sub>N(R<sup>25</sup>)(NR<sup>25a</sup>R<sup>25b</sup>), -CH<sub>2</sub>NR<sup>25</sup>C(=NH)(NR<sup>25a</sup>R<sup>25b</sup>), -CH<sub>2</sub>NR<sup>25</sup>C(=NH)(N(R<sup>25a</sup>)(NO<sub>2</sub>)), -CH<sub>2</sub>NR<sup>25</sup>C(=NH)(N(R<sup>25a</sup>)(CN)), -CH<sub>2</sub>NR<sup>25</sup>C(=NH)(R<sup>25</sup>), -CH<sub>2</sub>NR<sup>25</sup>C(NR<sup>25a</sup>R<sup>25b</sup>)=CH(NO<sub>2</sub>), alkyl, alkenyl, alkynyl, cycloalkyl, heteroaryl, or heterocycloalkyl; where the alkyl, alkenyl, alkynyl, cycloalkyl, heteroaryl, and heterocycloalkyl are independently optionally substituted with one, two, three, four, five, six or seven groups independently selected from halo, alkyl, haloalkyl, nitro, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted aryl, optionally substituted arylalkyl, optionally substituted heteroaryl, optionally substituted heteroarylalkyl, -OR<sup>8</sup>, -NR<sup>8</sup>R<sup>8'</sup>, -NR<sup>8</sup>S(O)<sub>2</sub>R<sup>9</sup>, -CN, -S(O)<sub>m</sub>R<sup>9</sup>, -C(O)R<sup>8</sup>, -C(O)OR<sup>8</sup>, -C(O)NR<sup>8</sup>R<sup>8'</sup>, -NR<sup>8</sup>C(O)NR<sup>8</sup>R<sup>8''</sup>, -NR<sup>8</sup>C(O)OR<sup>8'</sup> and -NR<sup>8</sup>C(O)R<sup>8'</sup>; and R<sup>4</sup> is as defined in the Summary of the Invention; or

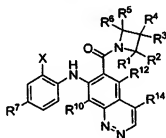
R<sup>3</sup> and R<sup>4</sup> together with the carbon to which they are attached form C(O) or

C(=NOH); and

all other groups are as defined in the Summary of the Invention for a compound of Group B.

[00224] In another embodiment of embodiment B14, the compound of Formula I is more specifically according to Formula I(q) where  $R^1$ ,  $R^2$ ,  $R^3$ , and  $R^6$  are hydrogen; X and  $R^7$  are halo;  $R^3$  and  $R^4$  are as defined in the Summary of the Invention for Group B; and  $R^{10}$ ,  $R^{12}$ ,  $R^{14}$ , and  $R^{16}$  are independently hydrogen or halo. In another embodiment,  $R^{10}$  is halo and  $R^{12}$ ,  $R^{14}$ , and  $R^{16}$  are hydrogen. In another embodiment, X is fluoro or chloro;  $R^7$  is iodo or bromo;  $R^{10}$  is chloro; and  $R^3$  is hydroxy. In another embodiment,  $R^4$  is heterocycloalkyl, alkyl, or heteroaryl, where the alkyl is optionally substituted with  $-NR^8R^9$  (where  $R^8$  is hydrogen or alkyl and  $R^9$  is hydrogen, alkyl, or cycloalkyl where the cycloalkyl is optionally substituted with one or two groups independently selected from hydroxy and alkyl) and the heteroaryl is optionally substituted with alkyl. In another embodiment,  $R^4$  is piperidinyl, pyrrolidinyl, benzimidazolyl, 1(*R,S*)-amino-ethyl, 1(*R*)-amino-ethyl, 1(*S*)-amino-ethyl, 1(*R,S*)-(methylamino)-ethyl, 1(*R*)-(methylamino)-ethyl, 1(*S*)-(methylamino)-ethyl, 1(*R,S*)-(3,4-cis-dihydroxy-cyclopentylamino)-ethyl, 1(*R*)-(3,4-cis-dihydroxy-cyclopentylamino)-ethyl, or 1(*S*)-(3,4-cis-dihydroxy-cyclopentylamino)-ethyl.

[00225] In another embodiment of the Invention (B15), the compound of Formula I is more specifically according to Formula I(r):

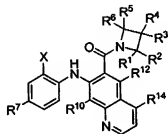


I(r)

where X,  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^5$ ,  $R^6$ ,  $R^7$ ,  $R^{10}$ ,  $R^{12}$  and  $R^{14}$  are as defined in the Summary of the Invention for a compound of Group B. In another embodiment,  $R^1$ ,  $R^2$ ,  $R^5$ , and  $R^6$  are hydrogen; X and  $R^7$  are halo;  $R^3$  and  $R^4$  are as defined in the Summary of the Invention for Group B;  $R^{10}$  and  $R^{12}$  are independently hydrogen, halo, or alkyl; and  $R^{14}$  is hydrogen, halo, alkyl, or amino. In another embodiment, X is fluoro or chloro;  $R^7$  is iodo or bromo;  $R^{10}$  is hydrogen or halo, in another embodiment hydrogen or fluoro;  $R^{12}$  is hydrogen;  $R^{14}$  is hydrogen, alkyl, or amino, in another embodiment hydrogen, methyl, or amino;  $R^3$  is hydroxy. In another embodiment,  $R^4$  is heterocycloalkyl, alkyl, or heteroaryl, where the alkyl is optionally substituted with  $-NR^8R^9$  (where  $R^8$  is hydrogen or alkyl and  $R^9$  is hydrogen, alkyl, or cycloalkyl).

where the cycloalkyl is optionally substituted with one or two groups independently selected from hydroxy and alkyl) and the heteroaryl is optionally substituted with alkyl. In another embodiment,  $R^4$  is piperidinyl, pyrrolidinyl, 1(*R,S*)-amino-ethyl, 1(*R*)-amino-ethyl, 1(*S*)-amino-ethyl, 1(*R,S*)-(methylamino)-ethyl, 1(*R*)-(methylamino)-ethyl, 1(*S*)-(methylamino)-ethyl, 1(*R,S*)-(3,4-cis-dihydroxy-cyclopentylamino)-ethyl, 1(*R*)-(3,4-cis-dihydroxy-cyclopentylamino)-ethyl, or 1(*S*)-(3,4-cis-dihydroxy-cyclopentylamino)-ethyl.

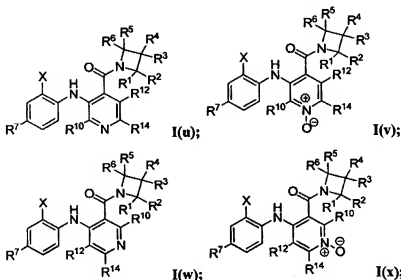
[00226] In another embodiment of the Invention (B16), the compound of Formula I is more specifically according to Formula I(s):



I(s)

where X,  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^5$ ,  $R^6$ ,  $R^7$ ,  $R^{10}$ ,  $R^{12}$  and  $R^{14}$  are as defined in the Summary of the Invention for a compound of Group B. In another embodiment,  $R^1$ ,  $R^2$ ,  $R^5$ , and  $R^6$  are hydrogen; X and  $R^7$  are halo;  $R^3$  and  $R^4$  are as defined in the Summary of the Invention for Group B; and  $R^{10}$  and  $R^{12}$  are independently hydrogen, halo, or alkyl; and  $R^{14}$  is hydrogen, halo, alkyl, or amino. In another embodiment, X is fluoro or chloro and  $R^7$  is iodo or bromo;  $R^{10}$  is hydrogen or halo, in another embodiment hydrogen or fluoro;  $R^{12}$  is hydrogen;  $R^{14}$  is hydrogen, methyl, or amino;  $R^3$  is hydroxy; and  $R^4$  is heterocycloalkyl, alkyl, or heteroaryl, where the alkyl is optionally substituted with  $-NR^8R^9$  (where  $R^8$  is hydrogen or alkyl and  $R^9$  is hydrogen, alkyl, or cycloalkyl where the cycloalkyl is optionally substituted with one or two groups independently selected from hydroxy and alkyl) and the heteroaryl is optionally substituted with alkyl.

[00227] In another embodiment of the Invention (B18), the compound of Formula I is more specifically according to Formula I(u), I(v), I(w), or I(x):



where X, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>10</sup>, R<sup>12</sup> and R<sup>14</sup> are as defined in the Summary of the Invention for a compound of Group B.

[00228] In another embodiment of embodiment B18, the compound of Formula I is more specifically according to Formula I(u), I(v), I(w), or I(x) where R<sup>3</sup> is halo, nitro, -NR<sup>8</sup>R<sup>8'</sup>, -OR<sup>8</sup>, -NHS(O)<sub>2</sub>R<sup>8</sup>, -CN, -S(O)<sub>m</sub>R<sup>8</sup>, -S(O)<sub>2</sub>NR<sup>8</sup>R<sup>8'</sup>, -C(O)R<sup>8</sup>, -C(O)OR<sup>8</sup>, -C(O)NR<sup>8</sup>R<sup>8'</sup>, -NR<sup>8</sup>C(O)OR<sup>8'</sup>, -NR<sup>8</sup>C(O)NR<sup>8'</sup>R<sup>8''</sup>, -NR<sup>8</sup>C(O)OR<sup>8'</sup>, -NR<sup>8</sup>C(O)R<sup>8'</sup>, -CH<sub>2</sub>N(R<sup>25</sup>)(NR<sup>25a</sup>R<sup>25b</sup>), -CH<sub>2</sub>NR<sup>25</sup>C(=NH)(NR<sup>25a</sup>R<sup>25b</sup>), -CH<sub>2</sub>NR<sup>25</sup>C(=NH)(N(R<sup>25a</sup>)(NO<sub>2</sub>)), -CH<sub>2</sub>NR<sup>25</sup>C(=NH)(N(R<sup>25a</sup>)(CN)), -CH<sub>2</sub>NR<sup>25</sup>C(=NH)(R<sup>25</sup>), -CH<sub>2</sub>NR<sup>25</sup>C(NR<sup>25a</sup>R<sup>25b</sup>)=CH(NO<sub>2</sub>), alkyl, alkenyl, alkynyl, cycloalkyl, heteroaryl, or heterocycloalkyl; where the alkyl, alkenyl, alkynyl, cycloalkyl, heteroaryl, and heterocycloalkyl are independently optionally substituted with one, two, three, four, five, six or seven groups independently selected from halo, alkyl, haloalkyl, nitro, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted aryl, optionally substituted arylalkyl, optionally substituted heteroaryl, optionally substituted heteroarylalkyl, -OR<sup>8</sup>, -NR<sup>8</sup>R<sup>8'</sup>, -NR<sup>8</sup>S(O)<sub>2</sub>R<sup>9</sup>, -CN, -S(O)<sub>m</sub>R<sup>9</sup>, -C(O)R<sup>8</sup>, -C(O)OR<sup>8</sup>, -C(O)NR<sup>8</sup>R<sup>8'</sup>, -NR<sup>8</sup>C(O)NR<sup>8'</sup>R<sup>8''</sup>, -NR<sup>8</sup>C(O)OR<sup>8'</sup> and -NR<sup>8</sup>C(O)R<sup>8'</sup>; and R<sup>4</sup> is as defined in the Summary of the Invention for a compound of Group B; or R<sup>3</sup> and R<sup>4</sup> together with the carbon to which they are attached form C(O) or C(=NOH); and all other groups are as defined in the Summary of the Invention for a compound of Group B.

[00229] In another embodiment of embodiment B18, the compound of Formula I is more specifically according to Formula I(t), I(u), I(v), or I(w) where  $R^3$  and  $R^4$  are independently halo, nitro,  $-NR^8R^8$ ,  $-OR^8$ ,  $-NHS(O)_2R^8$ ,  $-CN$ ,  $-S(O)_mR^8$ ,  $-S(O)_2NR^8R^8$ ,  $-C(O)R^8$ ,  $-C(O)OR^8$ ,  $-C(O)NR^8R^8$ ,  $-NR^8C(O)OR^8$ ,  $-NR^8C(O)NR^8R^8$ ,  $-NR^8C(O)OR^8$ ,  $-NR^8C(O)R^8$ ,  $-CH_2N(R^{25})(NR^{25a}R^{25b})$ ,  $-CH_2NR^{25}C(=NH)(NR^{25a}R^{25b})$ ,  $-CH_2NR^{25}C(=NH)(N(R^{25a})(NO_2))$ ,  $-CH_2NR^{25}C(=NH)(N(R^{25a})(CN))$ ,  $-CH_2NR^{25}C(=NH)(R^{25})$ ,  $-CH_2NR^{25}C(NR^{25a}R^{25b})=CH(NO_2)$ , alkyl, alkenyl, alkynyl, cycloalkyl, heteroaryl, or heterocycloalkyl; where the alkyl, alkenyl, alkynyl, cycloalkyl, heteroaryl, and heterocycloalkyl are independently optionally substituted with one, two, three, four, five, six or seven groups independently selected from halo, alkyl, haloalkyl, nitro, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted aryl, optionally substituted arylalkyl, optionally substituted heteroaryl, optionally substituted heteroarylalkyl,  $-OR^8$ ,  $-NR^8R^8$ ,  $-NR^8S(O)_2R^9$ ,  $-CN$ ,  $-S(O)_mR^9$ ,  $-C(O)R^8$ ,  $-C(O)OR^8$ ,  $-C(O)NR^8R^8$ ,  $-NR^8C(O)NR^8R^8$ ,  $-NR^8C(O)OR^8$  and  $-NR^8C(O)R^8$ ; or  $R^3$  and  $R^4$  together with the carbon to which they are attached form  $C(O)$  or  $C(=NOH)$ ; and all other groups are as defined in the Summary of the Invention for a compound of Group B.

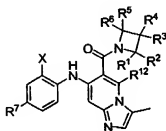
[00230] In another embodiment of embodiment B18, the compound of Formula I is more specifically according to Formula I(u), I(v), I(w), or I(x) where  $R^4$  is heterocycloalkyl, heteroaryl (optionally substituted with alkyl), or alkyl where the alkyl is optionally substituted with  $-NR^8R^8$  (where  $R^8$  is hydrogen or alkyl and  $R^8$  is hydrogen, alkyl, or cycloalkyl where the cycloalkyl is optionally substituted with one or two groups independently selected from hydroxy and alkyl). In another embodiment,  $R^4$  is piperidinyl, pyrrolidinyl, 1(*R,S*)-amino-propyl, 1(*R*)-amino-propyl, 1(*S*)-amino-propyl, 1(*R,S*)-(methylamino)-propyl, 1(*R*)-(methylamino)-propyl, 1(*S*)-(methylamino)-propyl, 1(*R,S*)-(3,4-*cis*-dihydroxy-cyclopentylamino)-propyl, 1(*R*)-(3,4-*cis*-dihydroxy-cyclopentylamino)-propyl, or 1(*S*)-(3,4-*cis*-dihydroxy-cyclopentylamino)-propyl.

[00231] In another embodiment of embodiment B18, the compound of Formula I is more specifically according to Formula I(u), I(v), I(w), or I(x) where  $R^1$ ,  $R^2$ ,  $R^5$ , and  $R^6$  are hydrogen; X and  $R^7$  are halo;  $R^3$  and  $R^4$  are as defined in the Summary of the Invention for Group B; and  $R^{10}$ ,  $R^{12}$ , and  $R^{14}$  are independently hydrogen, halo, or alkyl. In another embodiment, X is fluoro or chloro;  $R^7$  is iodo or bromo;  $R^{10}$  is



hydrogen or halo, in another embodiment hydrogen or fluoro;  $R^{12}$  and  $R^{14}$  are hydrogen; and  $R^3$  is hydroxy. In another embodiment  $R^4$  is heterocycloalkyl, alkyl, or heteroaryl, where the alkyl is optionally substituted with  $-NR^8R^9$  (where  $R^8$  is hydrogen or alkyl and  $R^9$  is hydrogen, alkyl, or cycloalkyl where the cycloalkyl is optionally substituted with one or two groups independently selected from hydroxy and alkyl) and the heteroaryl is optionally substituted with alkyl.

[00232] In another embodiment of the Invention (B19), the compound of Formula I is more specifically according to Formula I(cc)

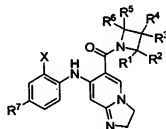


I(cc)

where X,  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^5$ ,  $R^6$ , and  $R^7$  are as defined in the Summary of the Invention for a compound of Group B. In another embodiment,  $R^1$ ,  $R^2$ ,  $R^5$ , and  $R^6$  are hydrogen; and X and  $R^7$  are halo. In another embodiment, X is fluoro or chloro; and  $R^3$  is hydrogen or hydroxy;  $R^7$  is iodo or bromo. In another embodiment,  $R^4$  is heterocycloalkyl, alkyl, or heteroaryl, where the alkyl is optionally substituted with  $-NR^8R^9$  (where  $R^8$  is hydrogen or alkyl and  $R^9$  is hydrogen, alkyl, or cycloalkyl where the cycloalkyl is optionally substituted with one or two groups independently selected from hydroxy and alkyl) and the heteroaryl is optionally substituted with alkyl. In another embodiment,  $R^4$  is piperidinyl, pyrrolidinyl, benzimidazolyl, *N*-methyl-benzimidazolyl, methylaminomethyl, 1(*R,S*)-amino-ethyl, 1(*R*)-amino-ethyl, 1(*S*)-amino-ethyl, 1(*R,S*)-(methylamino)-ethyl, 1(*R*)-(methylamino)-ethyl, 1(*S*)-(methylamino)-ethyl, 1(*R,S*)-(dimethylamino)-ethyl, 1(*R*)-(dimethylamino)-ethyl, 1(*S*)-(dimethylamino)-ethyl, 1(*R,S*)-amino-propyl, 1(*R*)-amino-propyl, 1(*S*)-amino-propyl, 1(*R,S*)-(methylamino)-propyl, 1(*R*)-(methylamino)-propyl, 1(*S*)-(methylamino)-propyl, 1(*R,S*)-(dimethylamino)-propyl, 1(*R*)-(dimethylamino)-propyl, 1(*S*)-(dimethylamino)-propyl, 1(*R,S*)-(3,4-*cis*-dihydroxy-cyclopentylamino)-ethyl, 1(*R*)-(3,4-*cis*-dihydroxy-cyclopentylamino)-ethyl, or 1(*S*)-(3,4-*cis*-dihydroxy-cyclopentylamino)-ethyl.

[00233] In an embodiment (B19a) of embodiment B19, the compound of Formula I is that where  $R^4$  is heterocycloalkyl or alkyl where the alkyl is optionally substituted with  $-NR^8R^8$  (where  $R^8$  is hydrogen or alkyl and  $R^8$  is hydrogen, alkyl, or cycloalkyl where the cycloalkyl is optionally substituted with one or two groups independently selected from hydroxy and alkyl). In another embodiment,  $R^4$  is piperidinyl, pyrrolidinyl, methylaminomethyl, 1(*R,S*)-amino-ethyl, 1(*R*)-amino-ethyl, 1(*S*)-amino-ethyl, 1(*R,S*)-(methylamino)-ethyl, 1(*R*)-(methylamino)-ethyl, 1(*S*)-(methylamino)-ethyl, 1(*R,S*)-(dimethylamino)-ethyl, 1(*R*)-(dimethylamino)-ethyl, 1(*S*)-(dimethylamino)-ethyl, 1(*R,S*)-amino-propyl, 1(*R*)-amino-propyl, 1(*S*)-amino-propyl, 1(*R,S*)-(methylamino)-propyl, 1(*R*)-(methylamino)-propyl, 1(*S*)-(methylamino)-propyl, 1(*R,S*)-(dimethylamino)-propyl, 1(*R*)-(dimethylamino)-propyl, 1(*S*)-(dimethylamino)-propyl, 1(*R,S*)-(3,4-cis-dihydroxy-cyclopentylamino)-ethyl, 1(*R*)-(3,4-cis-dihydroxy-cyclopentylamino)-ethyl, or 1(*S*)-(3,4-cis-dihydroxy-cyclopentylamino)-ethyl.

[00234] In another embodiment of the Invention (B20), the compound of Formula I is more specifically according to Formula I(dd)



I(dd)

where X,  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^5$ ,  $R^6$ , and  $R^7$  are as defined in the Summary of the Invention for a compound of Group B. In another embodiment,  $R^1$ ,  $R^2$ ,  $R^3$ , and  $R^6$  are hydrogen; and X and  $R^7$  are halo. In another embodiment, X is fluoro or chloro; and  $R^3$  is hydrogen or hydroxy;  $R^7$  is iodo or bromo. In another embodiment,  $R^4$  is heterocycloalkyl, alkyl, or heteroaryl, where the alkyl is optionally substituted with  $-NR^8R^8$  (where  $R^8$  is hydrogen or alkyl and  $R^8$  is hydrogen, alkyl, or cycloalkyl where the cycloalkyl is optionally substituted with one or two groups independently selected from hydroxy and alkyl) and the heteroaryl is optionally substituted with alkyl. In another embodiment,  $R^4$  is piperidinyl, pyrrolidinyl, benzimidazolyl, *N*-methyl-benzimidazolyl, methylaminomethyl, 1(*R,S*)-amino-ethyl, 1(*R*)-amino-ethyl, 1(*S*)-amino-ethyl, 1(*R,S*)-(methylamino)-ethyl, 1(*R*)-(methylamino)-ethyl,

1(*S*)-(methylamino)-ethyl, 1(*R,S*)-(dimethylamino)-ethyl, 1(*R*)-(dimethylamino)-ethyl, 1(*S*)-(dimethylamino)-ethyl, 1(*R,S*)-amino-propyl, 1(*R*)-amino-propyl, 1(*S*)-amino-propyl, 1(*R,S*)-(methylamino)-propyl, 1(*R*)-(methylamino)-propyl, 1(*S*)-(methylamino)-propyl, 1(*R,S*)-(dimethylamino)-propyl, 1(*R*)-(dimethylamino)-propyl, 1(*S*)-(dimethylamino)-propyl, 1(*R,S*)-(3,4-*cis*-dihydroxy-cyclopentylamino)-ethyl, 1(*R*)-(3,4-*cis*-dihydroxy-cyclopentylamino)-ethyl, or 1(*S*)-(3,4-*cis*-dihydroxy-cyclopentylamino)-ethyl.

[00235] In an embodiment (B20a) of embodiment B20, the compound of Formula I is that where  $R^4$  is heterocycloalkyl or alkyl where the alkyl is optionally substituted with  $-NR^8R^9$  (where  $R^8$  is hydrogen or alkyl and  $R^9$  is hydrogen, alkyl, or cycloalkyl where the cycloalkyl is optionally substituted with one or two groups independently selected from hydroxy and alkyl). In another embodiment,  $R^4$  is piperidinyl, pyrrolidinyl, methylaminomethyl, 1(*R,S*)-amino-ethyl, 1(*R*)-amino-ethyl, 1(*S*)-amino-ethyl, 1(*R,S*)-(methylamino)-ethyl, 1(*R*)-(methylamino)-ethyl, 1(*S*)-(methylamino)-ethyl, 1(*R,S*)-(dimethylamino)-ethyl, 1(*R*)-(dimethylamino)-ethyl, 1(*S*)-(dimethylamino)-ethyl, 1(*R,S*)-amino-propyl, 1(*R*)-amino-propyl, 1(*S*)-amino-propyl, 1(*R,S*)-(methylamino)-propyl, 1(*R*)-(methylamino)-propyl, 1(*S*)-(methylamino)-propyl, 1(*R,S*)-(dimethylamino)-propyl, 1(*R*)-(dimethylamino)-propyl, 1(*S*)-(dimethylamino)-propyl, 1(*R,S*)-(3,4-*cis*-dihydroxy-cyclopentylamino)-ethyl, 1(*R*)-(3,4-*cis*-dihydroxy-cyclopentylamino)-ethyl, or 1(*S*)-(3,4-*cis*-dihydroxy-cyclopentylamino)-ethyl.

[00236] In one embodiment of the Invention (C1), the compound of Formula I is selected from Group C where all groups are as defined in the Summary of the Invention.

[00237] In another embodiment of the invention (C2), the compound of Formula I is that where X and  $R^7$  are halo; and all other groups are as defined for a compound selected from Group C.

[00238] In another embodiment of the invention (C3), the compound of Formula I is selected from Group C where  $R^3$  is halo, nitro,  $-NR^8R^9$ ,  $-OR^8$ ,  $-NHS(O)_2R^8$ ,  $-CN$ ,  $-S(O)_mR^8$ ,  $-S(O)_2NR^8R^9$ ,  $-C(O)R^8$ ,  $-C(O)OR^8$ ,  $-C(O)NR^8R^9$ ,  $-NR^8C(O)OR^8$ ,  $-NR^8C(O)NR^8R^9$ ,  $-NR^8C(O)OR^9$ ,  $-NR^8C(O)R^9$ ,  $-CH_2N(R^{25})(R^{25a}R^{25b})$ ,  $-CH_2NR^{25}C(=NH)(NR^{25a}R^{25b})$ ,  $-CH_2NR^{25}C(=NH)(N(R^{25a})(NO_2))$ ,  $-CH_2NR^{25}C(=NH)(N(R^{25a})(CN))$ ,  $-CH_2NR^{25}C(=NH)(R^{25})$ ,  $-CH_2NR^{25}C(NR^{25a}R^{25b})=CH(NO_2)$ , alkyl, alkenyl, alkynyl, cycloalkyl, heteroaryl, or

heterocycloalkyl; where the alkyl, alkenyl, alkynyl, cycloalkyl, heteroaryl, and heterocycloalkyl are independently optionally substituted with one, two, three, four, five, six or seven groups independently selected from halo, alkyl, haloalkyl, nitro, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted aryl, optionally substituted arylalkyl, optionally substituted heteroaryl, optionally substituted heteroarylalkyl,  $-\text{OR}^8$ ,  $-\text{NR}^8\text{R}^8$ ,  $-\text{NR}^8\text{S}(\text{O})_2\text{R}^9$ ,  $-\text{CN}$ ,  $-\text{S}(\text{O})_m\text{R}^9$ ,  $-\text{C}(\text{O})\text{R}^8$ ,  $-\text{C}(\text{O})\text{OR}^8$ ,  $-\text{C}(\text{O})\text{NR}^8\text{R}^8$ ,  $-\text{NR}^8\text{C}(\text{O})\text{NR}^8\text{R}^8$ ,  $-\text{NR}^8\text{C}(\text{O})\text{OR}^8$  and  $-\text{NR}^8\text{C}(\text{O})\text{R}^8$ ; and  $\text{R}^4$  is as defined in the Summary of the Invention; or  $\text{R}^3$  and  $\text{R}^4$  together with the carbon to which they are attached form  $\text{C}(\text{O})$  or  $\text{C}(=\text{NOH})$ ; and all other groups are as defined in the Summary of the Invention for a compound of Group C. In another embodiment,  $\text{R}^1$ ,  $\text{R}^2$ ,  $\text{R}^5$  and  $\text{R}^6$  are hydrogen; and  $\text{X}$  and  $\text{R}^7$  are halo.

[00239] In another embodiment of the invention (C4), the compound of Formula I is selected from Group C where  $\text{R}^3$  and  $\text{R}^4$  are independently halo, nitro,  $-\text{NR}^8\text{R}^8$ ,  $-\text{OR}^8$ ,  $-\text{NHS}(\text{O})_2\text{R}^8$ ,  $-\text{CN}$ ,  $-\text{S}(\text{O})_m\text{R}^8$ ,  $-\text{S}(\text{O})_2\text{NR}^8\text{R}^8$ ,  $-\text{C}(\text{O})\text{R}^8$ ,  $-\text{C}(\text{O})\text{OR}^8$ ,  $-\text{C}(\text{O})\text{NR}^8\text{R}^8$ ,  $-\text{NR}^8\text{C}(\text{O})\text{OR}^8$ ,  $-\text{NR}^8\text{C}(\text{O})\text{NR}^8\text{R}^8$ ,  $-\text{NR}^8\text{C}(\text{O})\text{OR}^8$ ,  $-\text{NR}^8\text{C}(\text{O})\text{R}^8$ ,  $-\text{CH}_2\text{N}(\text{R}^{25})(\text{NR}^{25a}\text{R}^{25b})$ ,  $-\text{CH}_2\text{NR}^{25}\text{C}(=\text{NH})(\text{NR}^{25a}\text{R}^{25b})$ ,  $-\text{CH}_2\text{NR}^{25}\text{C}(=\text{NH})(\text{N}(\text{R}^{25b})(\text{NO}_2))$ ,  $-\text{CH}_2\text{NR}^{25}\text{C}(=\text{NH})(\text{N}(\text{R}^{25b})(\text{CN}))$ ,  $-\text{CH}_2\text{NR}^{25}\text{C}(=\text{NH})(\text{R}^{25})$ ,  $-\text{CH}_2\text{NR}^{25}\text{C}(\text{NR}^{25a}\text{R}^{25b})=\text{CH}(\text{NO}_2)$ , alkyl, alkenyl, alkynyl, cycloalkyl, heteroaryl, or heterocycloalkyl; where the alkyl, alkenyl, alkynyl, cycloalkyl, heteroaryl, and heterocycloalkyl are independently optionally substituted with one, two, three, four, five, six or seven groups independently selected from halo, alkyl, haloalkyl, nitro, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted aryl, optionally substituted arylalkyl, optionally substituted heteroaryl, optionally substituted heteroarylalkyl,  $-\text{OR}^8$ ,  $-\text{NR}^8\text{R}^8$ ,  $-\text{NR}^8\text{S}(\text{O})_2\text{R}^9$ ,  $-\text{CN}$ ,  $-\text{S}(\text{O})_m\text{R}^9$ ,  $-\text{C}(\text{O})\text{R}^8$ ,  $-\text{C}(\text{O})\text{OR}^8$ ,  $-\text{C}(\text{O})\text{NR}^8\text{R}^8$ ,  $-\text{NR}^8\text{C}(\text{O})\text{NR}^8\text{R}^8$ ,  $-\text{NR}^8\text{C}(\text{O})\text{OR}^8$  and  $-\text{NR}^8\text{C}(\text{O})\text{R}^8$ ; or  $\text{R}^3$  and  $\text{R}^4$  together with the carbon to which they are attached form  $\text{C}(\text{O})$  or  $\text{C}(=\text{NOH})$ ; and all other groups are as defined in the Summary of the Invention for a compound of Group C. In another embodiment,  $\text{R}^1$ ,  $\text{R}^2$ ,  $\text{R}^5$  and  $\text{R}^6$  are hydrogen; and  $\text{X}$  and  $\text{R}^7$  are halo.

[00240] In another embodiment of the invention (C5), the compound of Formula I is that where A is



and X, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>10</sup>, and R<sup>10a</sup> are as defined in the Summary of the invention for a compound of Group C. In another embodiment, R<sup>1</sup>, R<sup>2</sup>, R<sup>5</sup>, and R<sup>6</sup> are hydrogen; X and R<sup>7</sup> are halo; R<sup>10</sup> is hydrogen or halo; and R<sup>10a</sup> is alkyl. In another embodiment, X is fluoro or chloro; R<sup>3</sup> is hydroxy; R<sup>7</sup> is iodo or bromo; R<sup>10</sup> is hydrogen or fluoro; and R<sup>10a</sup> is methyl. In another embodiment, R<sup>4</sup> is heterocycloalkyl, alkyl, or heteroaryl, where the alkyl is optionally substituted with -NR<sup>8</sup>R<sup>8'</sup> (where R<sup>8</sup> is hydrogen or alkyl and R<sup>8'</sup> is hydrogen, alkyl, or cycloalkyl where the cycloalkyl is optionally substituted with one or two groups independently selected from hydroxy and alkyl) and the heteroaryl is optionally substituted with alkyl. In another embodiment, R<sup>4</sup> is piperidinyl, pyrrolidinyl, benzimidazolyl, *N*-methyl-benzimidazolyl, methylaminomethyl, 1(*R,S*)-amino-ethyl, 1(*R*)-amino-ethyl, 1(*S*)-amino-ethyl, 1(*R,S*)-(methylamino)-ethyl, 1(*R*)-(methylamino)-ethyl, 1(*S*)-(methylamino)-ethyl, 1(*R,S*)-(dimethylamino)-ethyl, 1(*R*)-(dimethylamino)-ethyl, 1(*S*)-(dimethylamino)-ethyl, 1(*R,S*)-amino-propyl, 1(*R*)-amino-propyl, 1(*S*)-amino-propyl, 1(*R,S*)-(methylamino)-propyl, 1(*R*)-(methylamino)-propyl, 1(*S*)-(methylamino)-propyl, 1(*R,S*)-(dimethylamino)-propyl, 1(*R*)-(dimethylamino)-propyl, 1(*S*)-(dimethylamino)-propyl, 1(*R,S*)-(3,4-*cis*-dihydroxy-cyclopentylamino)-ethyl, 1(*R*)-(3,4-*cis*-dihydroxy-cyclopentylamino)-ethyl, or 1(*S*)-(3,4-*cis*-dihydroxy-cyclopentylamino)-ethyl.

[00241] In another embodiment of the invention (C6), the compound of Formula I is that where A is



and X, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>10</sup>, and R<sup>10a</sup> are as defined in the Summary of the invention for a compound of Group C. In another embodiment, R<sup>1</sup>, R<sup>2</sup>, R<sup>5</sup>, and R<sup>6</sup> are hydrogen; X and R<sup>7</sup> are halo; R<sup>10</sup> is hydrogen or halo; and R<sup>10a</sup> is alkyl. In another



[00243] In one embodiment of the Invention (D), the compound of Formula I is selected from Group D where all groups are as defined in the Summary of the Invention.

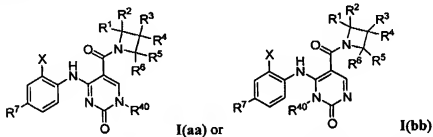
[00244] In another embodiment of the invention (D1), the compound of Formula I is that where X and R<sup>7</sup> are halo; and all other groups are as defined for a compound selected from Group D.

[00245] In another embodiment of the invention (D2), the compound of Formula I is selected from Group D where R<sup>3</sup> is halo, nitro, -NR<sup>8</sup>R<sup>8'</sup>, -OR<sup>8</sup>, -NHS(O)<sub>2</sub>R<sup>8</sup>, -CN, -S(O)<sub>m</sub>R<sup>8</sup>, -S(O)<sub>2</sub>NR<sup>8</sup>R<sup>8'</sup>, -C(O)R<sup>8</sup>, -C(O)OR<sup>8</sup>, -C(O)NR<sup>8</sup>R<sup>8'</sup>, -NR<sup>8</sup>C(O)OR<sup>8'</sup>, -NR<sup>8</sup>C(O)NR<sup>8</sup>R<sup>8''</sup>, -NR<sup>8</sup>C(O)OR<sup>8'</sup>, -NR<sup>8</sup>C(O)R<sup>8'</sup>, -CH<sub>2</sub>N(R<sup>25</sup>)(NR<sup>25a</sup>R<sup>25b</sup>), -CH<sub>2</sub>NR<sup>25</sup>C(=NH)(NR<sup>25a</sup>R<sup>25b</sup>), -CH<sub>2</sub>NR<sup>25</sup>C(=NH)(N(R<sup>25a</sup>)(NO<sub>2</sub>)), -CH<sub>2</sub>NR<sup>25</sup>C(=NH)(N(R<sup>25a</sup>)(CN)), -CH<sub>2</sub>NR<sup>25</sup>C(=NH)(R<sup>25</sup>), -CH<sub>2</sub>NR<sup>25</sup>C(NR<sup>25a</sup>R<sup>25b</sup>)=CH(NO<sub>2</sub>), alkyl, alkenyl, alkynyl, cycloalkyl, heteroaryl, or heterocycloalkyl; where the alkyl, alkenyl, alkynyl, cycloalkyl, heteroaryl, and heterocycloalkyl are independently optionally substituted with one, two, three, four, five, six or seven groups independently selected from halo, alkyl, haloalkyl, nitro, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted aryl, optionally substituted arylalkyl, optionally substituted heteroaryl, optionally substituted heteroarylalkyl, -OR<sup>8</sup>, -NR<sup>8</sup>R<sup>8'</sup>, -NR<sup>8</sup>S(O)<sub>2</sub>R<sup>9</sup>, -CN, -S(O)<sub>m</sub>R<sup>9</sup>, -C(O)R<sup>8</sup>, -C(O)OR<sup>8</sup>, -C(O)NR<sup>8</sup>R<sup>8'</sup>, -NR<sup>8</sup>C(O)NR<sup>8</sup>R<sup>8''</sup>, -NR<sup>8</sup>C(O)OR<sup>8'</sup> and -NR<sup>8</sup>C(O)R<sup>8'</sup>; and R<sup>4</sup> is as defined in the Summary of the Invention; or R<sup>3</sup> and R<sup>4</sup> together with the carbon to which they are attached form C(O) or C(=NOH); and all other groups are as defined in the Summary of the Invention for a compound of Group C. In another embodiment, R<sup>1</sup>, R<sup>2</sup>, R<sup>5</sup> and R<sup>6</sup> are hydrogen; and X and R<sup>7</sup> are halo.

[00246] In another embodiment of the invention (D3), the compound of Formula I is selected from Group D where R<sup>3</sup> and R<sup>4</sup> are independently halo, nitro, -NR<sup>8</sup>R<sup>8'</sup>, -OR<sup>8</sup>, -NHS(O)<sub>2</sub>R<sup>8</sup>, -CN, -S(O)<sub>m</sub>R<sup>8</sup>, -S(O)<sub>2</sub>NR<sup>8</sup>R<sup>8'</sup>, -C(O)R<sup>8</sup>, -C(O)OR<sup>8</sup>, -C(O)NR<sup>8</sup>R<sup>8'</sup>, -NR<sup>8</sup>C(O)OR<sup>8'</sup>, -NR<sup>8</sup>C(O)NR<sup>8</sup>R<sup>8''</sup>, -NR<sup>8</sup>C(O)OR<sup>8'</sup>, -NR<sup>8</sup>C(O)R<sup>8'</sup>, -CH<sub>2</sub>N(R<sup>25</sup>)(NR<sup>25a</sup>R<sup>25b</sup>), -CH<sub>2</sub>NR<sup>25</sup>C(=NH)(NR<sup>25a</sup>R<sup>25b</sup>), -CH<sub>2</sub>NR<sup>25</sup>C(=NH)(N(R<sup>25a</sup>)(NO<sub>2</sub>)), -CH<sub>2</sub>NR<sup>25</sup>C(=NH)(N(R<sup>25a</sup>)(CN)), -CH<sub>2</sub>NR<sup>25</sup>C(=NH)(R<sup>25</sup>), -CH<sub>2</sub>NR<sup>25</sup>C(NR<sup>25a</sup>R<sup>25b</sup>)=CH(NO<sub>2</sub>), alkyl, alkenyl, alkynyl, cycloalkyl, heteroaryl, or heterocycloalkyl; where the alkyl, alkenyl, alkynyl, cycloalkyl, heteroaryl, and heterocycloalkyl are independently optionally substituted with one, two, three, four, five, six or seven groups independently selected from halo,

alkyl, haloalkyl, nitro, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted aryl, optionally substituted arylalkyl, optionally substituted heteroaryl, optionally substituted heteroarylalkyl,  $-\text{OR}^8$ ,  $-\text{NR}^8\text{R}^8$ ,  $-\text{NR}^8\text{S}(\text{O})_2\text{R}^9$ ,  $-\text{CN}$ ,  $-\text{S}(\text{O})_m\text{R}^9$ ,  $-\text{C}(\text{O})\text{R}^8$ ,  $-\text{C}(\text{O})\text{OR}^8$ ,  $-\text{C}(\text{O})\text{NR}^8\text{R}^8$ ,  $-\text{NR}^8\text{C}(\text{O})\text{NR}^8\text{R}^8$ ,  $-\text{NR}^8\text{C}(\text{O})\text{OR}^8$  and  $-\text{NR}^8\text{C}(\text{O})\text{R}^8$ ; or  $\text{R}^3$  and  $\text{R}^4$  together with the carbon to which they are attached form  $\text{C}(\text{O})$  or  $\text{C}(=\text{NOH})$ ; and all other groups are as defined in the Summary of the Invention for a compound of Group C. In another embodiment,  $\text{R}^1$ ,  $\text{R}^2$ ,  $\text{R}^5$  and  $\text{R}^6$  are hydrogen; and  $\text{X}$  and  $\text{R}^7$  are halo.

[00247] In another embodiment of the invention (D4), the compound of Formula I is that where A is



where  $\text{R}^{40}$  is hydrogen or methyl (in another embodiment,  $\text{R}^{40}$  is hydrogen) and all other groups are as defined in the Summary of the Invention. In another embodiment,  $\text{R}^1$ ,  $\text{R}^2$ ,  $\text{R}^5$ , and  $\text{R}^6$  are hydrogen;  $\text{X}$  and  $\text{R}^7$  are halo; and  $\text{R}^{40}$  is hydrogen or methyl. In another embodiment,  $\text{X}$  is fluoro or chloro; and  $\text{R}^3$  is hydrogen or hydroxy;  $\text{R}^7$  is iodo or bromo. In another embodiment,  $\text{R}^4$  is heterocycloalkyl, alkyl, or heteroaryl, where the alkyl is optionally substituted with  $-\text{NR}^8\text{R}^8$  (where  $\text{R}^8$  is hydrogen or alkyl and  $\text{R}^8$  is hydrogen, alkyl, or cycloalkyl where the cycloalkyl is optionally substituted with one or two groups independently selected from hydroxy and alkyl) and the heteroaryl is optionally substituted with alkyl. In another embodiment,  $\text{R}^4$  is piperidinyl, pyrrolidinyl, benzimidazolyl, *N*-methyl-benzimidazolyl, methylaminomethyl, 1(*R,S*)-amino-ethyl, 1(*R*)-amino-ethyl, 1(*S*)-amino-ethyl, 1(*R,S*)-(methylamino)-ethyl, 1(*R*)-(methylamino)-ethyl, 1(*S*)-(methylamino)-ethyl, 1(*R,S*)-(dimethylamino)-ethyl, 1(*R*)-(dimethylamino)-ethyl, 1(*S*)-(dimethylamino)-ethyl, 1(*R,S*)-amino-propyl, 1(*R*)-amino-propyl, 1(*S*)-amino-propyl, 1(*R,S*)-(methylamino)-propyl, 1(*R*)-(methylamino)-propyl, 1(*S*)-(methylamino)-propyl, 1(*R,S*)-(dimethylamino)-propyl, 1(*R*)-(dimethylamino)-propyl, 1(*S*)-(dimethylamino)-propyl, 1(*R,S*)-(3,4-cis-dihydroxy-cyclopentylamino)-ethyl, 1(*R*)-(3,4-cis-dihydroxy-cyclopentylamino)-ethyl, or 1(*S*)-(3,4-cis-dihydroxy-cyclopentylamino)-ethyl.



[00248] In an embodiment (D4a) of the invention of D4, the compound of Formula I is that where  $R^4$  is heterocycloalkyl or alkyl where the alkyl is optionally substituted with  $-NR^8R^8$  (where  $R^8$  is hydrogen or alkyl and  $R^8$  is hydrogen, alkyl, or cycloalkyl where the cycloalkyl is optionally substituted with one or two groups independently selected from hydroxy and alkyl). In another embodiment,  $R^4$  is piperidinyl, pyrrolidinyl, methylaminomethyl, 1(*R,S*)-amino-ethyl, 1(*R*)-amino-ethyl, 1(*S*)-amino-ethyl, 1(*R,S*)-(methylamino)-ethyl, 1(*R*)-(methylamino)-ethyl, 1(*S*)-(methylamino)-ethyl, 1(*R,S*)-(dimethylamino)-ethyl, 1(*R*)-(dimethylamino)-ethyl, 1(*S*)-(dimethylamino)-ethyl, 1(*R,S*)-amino-propyl, 1(*R*)-amino-propyl, 1(*S*)-amino-propyl, 1(*R,S*)-(methylamino)-propyl, 1(*R*)-(methylamino)-propyl, 1(*S*)-(methylamino)-propyl, 1(*R,S*)-(dimethylamino)-propyl, 1(*R*)-(dimethylamino)-propyl, 1(*S*)-(dimethylamino)-propyl, 1(*R,S*)-(3,4-cis-dihydroxy-cyclopentylamino)-ethyl, 1(*R*)-(3,4-cis-dihydroxy-cyclopentylamino)-ethyl, or 1(*S*)-(3,4-cis-dihydroxy-cyclopentylamino)-ethyl.

[00249] Another embodiment of the Invention (E) is directed to a Compound of Formula I selected from Group A, Group B, and Group C where

Group A

A is phenylene optionally substituted with one or two groups selected from  $R^{10}$ ,  $R^{12}$ ,  $R^{14}$ , and  $R^{16}$  where  $R^{10}$ ,  $R^{12}$ ,  $R^{14}$  and  $R^{16}$  are independently hydrogen or halo;

X is halo;

$R^1$ ,  $R^2$ ,  $R^5$  and  $R^6$  are hydrogen;

$R^3$  is hydrogen, halo, hydroxy, alkoxy, or amino;

$R^4$  is hydrogen,  $-NR^8R^8$ ,  $-C(O)NR^8R^8$ ,  $-NR^8C(O)OR^8$ ,  $-NR^8C(O)R^8$ ,

$-CH_2N(R^{25})(NR^{25a}R^{25b})$ ,  $-CH_2NR^{25}C(=NH)(NR^{25a}R^{25b})$ ,

$-CH_2NR^{25}C(=NH)(N(R^{25a})(NO_2))$ ,  $-CH_2NR^{25}C(=NH)(N(R^{25a})(CN))$ ,

$-CH_2NR^{25}C(=NH)(R^{25})$ ,  $-CH_2NR^{25}C(NR^{25a}R^{25b})=CH(NO_2)$ , alkyl, alkenyl,

cycloalkyl, heterocycloalkyl, or heteroaryl; where the  $R^4$  alkyl is optionally substituted with one, two, or three groups independently selected from  $-OR^8$ , halo, nitro,  $-S(O)_mR^9$ , optionally substituted heterocycloalkyl,  $-NR^8R^8$ ,

$-NR^8C(O)R^8$ ,  $-NR^8S(O)_2R^9$ ,  $-NR^8C(O)OR^8$ , and aryl; where the  $R^4$  cycloalkyl

is optionally substituted with one or two groups selected from  $-OR^8$  and  $-NR^8R^8$ ; where the  $R^4$  heterocycloalkyl is optionally substituted with one or

two groups independently selected from alkyl and  $-C(O)OR^8$ ; and where the  $R^4$  heteroaryl is optionally substituted with  $-NR^8R^8$ ; or

$R^3$  and  $R^4$  together with the carbon to which they are attached form  $C(O)$  or

$C(=NOH)$ ;

$m$  is 0;

$R^7$  is halo;

$R^8$  and  $R^{8'}$  are independently selected from hydrogen, hydroxy, alkyl, alkenyl, alkynyl, aryl, heterocycloalkyl, heteroaryl, and cycloalkyl;

where the  $R^8$  and  $R^{8'}$  alkyl are independently optionally substituted with one, two, or three groups independently selected from hydroxy,  $-NR^{30}R^{30'}$  (where  $R^{30}$  and  $R^{30'}$  are independently hydrogen, alkyl, or hydroxyalkyl), optionally substituted heteroaryl, optionally substituted cycloalkyl), optionally substituted alkoxy, optionally substituted cycloalkyl, optionally substituted aryl, optionally substituted heterocycloalkyl, optionally substituted heteroaryl,  $-C(O)NR^{33}R^{33a}$  (where  $R^{33}$  is hydrogen or alkyl and  $R^{33a}$  is alkyl, alkenyl, alkynyl, or cycloalkyl), optionally substituted aryloxy,  $-S(O)_nR^{31}$  (where  $n$  is 0 and  $R^{31}$  is alkyl), carboxy, alkoxycarbonyl, and  $-NR^{32}C(O)R^{32a}$  (where  $R^{32}$  is hydrogen or alkyl and  $R^{32a}$  is alkyl, alkenyl, alkoxy, or cycloalkyl); or where the alkyl is optionally substituted with one, two, three, four, or five halo;

where the  $R^8$  and  $R^{8'}$  heteroaryl are independently optionally substituted with one or two groups independently selected from amino and alkyl;

where the  $R^8$  and  $R^{8'}$  heterocycloalkyl are independently optionally substituted with one, two, or three groups independently selected from alkyl, alkoxycarbonyl, optionally substituted arylalkyl, hydroxy, alkoxy, and hydroxyalkyl;

where the  $R^8$  and  $R^{8'}$  aryl are independently optionally substituted with one or two groups independently selected from hydroxy, alkoxy, halo,  $-NR^{32}C(O)R^{32a}$  (where  $R^{32}$  is hydrogen or alkyl and  $R^{32a}$  is alkyl, alkenyl, alkoxy, or cycloalkyl), and  $-NR^{34}SO_2R^{34a}$  (where  $R^{34}$  is hydrogen or alkyl and  $R^{34a}$  is alkyl, alkenyl, cycloalkyl, aryl, heteroaryl, or heterocycloalkyl); and

where the  $R^8$  and  $R^{8'}$  cycloalkyl are independently optionally substituted with one, two, or three groups independently selected from hydroxy, hydroxyalkyl, alkoxy, carboxy,  $-C(O)NR^{33}R^{33a}$  (where  $R^{33}$  is hydrogen or alkyl and  $R^{33a}$  is alkyl, alkenyl, alkynyl, or cycloalkyl), and optionally substituted cycloalkyl; and

$R^9$  is alkyl or aryl;

#### Group B

A is thien-3,4-diyl, benzo[d]isoxazol-5,6-diyl, 1*H*-indazol-5,6-diyl (optionally substituted at the N1 position with R<sup>19</sup> where R<sup>19</sup> is alkyl or alkenyl), benzo[d]oxazol-5,6-diyl, benzo[d]thiazol-5,6-diyl, 1*H*-benzo[d]imidazol-5,6-diyl (optionally substituted at the N1 position with R<sup>19</sup> where R<sup>19</sup> is alkyl or alkenyl), 1*H*-benzo[d][1,2,3]triazol-5,6-diyl (optionally substituted at the N1 position with R<sup>19</sup> where R<sup>19</sup> is alkyl or alkenyl), imidazo[1,2-*a*]pyridin-6,7-diyl, cinnolin-6,7-diyl, quinolin-6,7-diyl, pyridin-3,4-diyl, or 1-oxido-pyridin-3,4-diyl; where A is optionally substituted with one, two, or three groups independently selected from R<sup>10</sup>, R<sup>12</sup>, R<sup>14</sup>, R<sup>16</sup> and R<sup>19</sup> where R<sup>10</sup>, R<sup>12</sup>, R<sup>14</sup> and R<sup>16</sup> are independently hydrogen, alkyl, halo, or amino; and R<sup>19</sup> is hydrogen or alkyl;

X is halo;

R<sup>1</sup>, R<sup>2</sup>, R<sup>5</sup> and R<sup>6</sup> are hydrogen;

R<sup>3</sup> is hydrogen or hydroxy;

R<sup>4</sup> is -NR<sup>8</sup>R<sup>8'</sup>, heterocycloalkyl, heteroaryl, or alkyl; where the alkyl is optionally substituted with -NR<sup>8</sup>R<sup>8'</sup> and where the heteroaryl is optionally substituted with alkyl;

R<sup>7</sup> is halo;

R<sup>8</sup> is hydrogen or alkyl; and

R<sup>8'</sup> is hydrogen, alkyl, or cycloalkyl; where the cycloalkyl is optionally substituted with one or two groups independently selected from hydroxy and alkyl;

### Group C

A is



(a)

where R<sup>10</sup> is hydrogen or halo;

R<sup>10a</sup> is hydrogen or alkyl;

Y<sup>1</sup> is =CH- or =N-;

X is halo;

R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> and R<sup>6</sup> are hydrogen;

R<sup>3</sup> is hydrogen or hydroxy;

$R^4$  is  $-NR^8R^8$ , heterocycloalkyl, heteroaryl, or alkyl; where the alkyl is optionally substituted with  $-NR^8R^8$  and where the heteroaryl is optionally substituted with alkyl;

$R^7$  is halo;

$R^8$  is hydrogen or alkyl; and

$R^8$  is hydrogen, alkyl, or cycloalkyl; where the cycloalkyl is optionally substituted with one or two groups independently selected from hydroxy and alkyl.

### Representative MEK Compounds

[00250] Representative compounds of Formula I are depicted below. The examples are merely illustrative and do not limit the scope of the invention in any way. Compounds of the invention are named according to systematic application of the nomenclature rules agreed upon by the International Union of Pure and Applied Chemistry (IUPAC), International Union of Biochemistry and Molecular Biology (IUBMB), and the Chemical Abstracts Service (CAS). Names were generated using ACD/Labs naming software 8.00 release, product version 8.08.

Table 1. Representative MEK Inhibitors

Table 1. Representative MEK Inhibitors		
Compd No.	Structure	Name
1		1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)-carbonyl)azetidin-3-ol
2		1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)-carbonyl)azetidin-3-one

Table 1. Representative MEK Inhibitors

Cmpd No.	Structure	Name
3		6-(azetidin-1-ylcarbonyl)-2,3-difluoro-N-(2-fluoro-4-iodophenyl)aniline
4		1-({(3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl}-3-(hydroxymethyl)azetidin-3-ol
5		1-({(3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]carbonyl}-3-(trifluoromethyl)azetidin-3-ol
6		1-({(3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl}-3-prop-2-en-1-ylazetidin-3-ol
7		3-[1-({(3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl}-3-hydroxyazetidin-3-yl]propane-1,2-diol

Table 1. Representative MEK Inhibitors

Cmpd No.	Structure	Name
8		1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)-3-ethylazetidin-3-ol
9		1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)-3-methylazetidin-3-ol
10		1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)-3-ethenylazetidin-3-ol
11		1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)azetidin-3-one oxime
12		[1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)azetidin-3-yl]methanol

Table 1. Representative MEK Inhibitors

Cmpd No.	Structure	Name
13		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-hydroxyazetidin-3-yl]ethane-1,2-diol
14		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-amine
15		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-N-hydroxyazetidine-3-carboxamide
16		1,1-dimethylethyl [1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-yl]carbamate
17		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-(pyrrolidin-1-ylmethyl)azetidin-3-ol

Table 1. Representative MEK Inhibitors

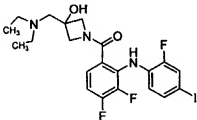
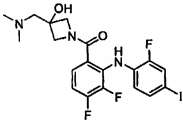
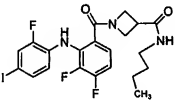
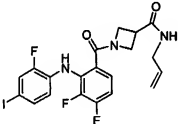
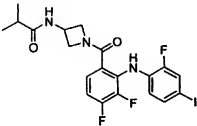
Cmpd No.	Structure	Name
18		3-((diethylamino)methyl)-1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)azetidin-3-ol
19		1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)-3-((dimethylamino)methyl)azetidin-3-ol
20		<i>N</i> -butyl-1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)azetidine-3-carboxamide
21		1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)- <i>N</i> -prop-2-en-1-ylazetidine-3-carboxamide
22		<i>N</i> -[1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)azetidin-3-yl]-2-methylpropanamide



Table 1. Representative MEK Inhibitors

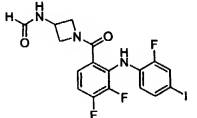
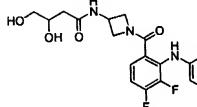
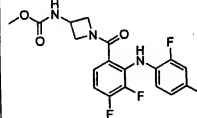
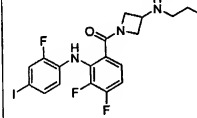
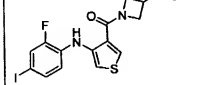
Cmpd No.	Structure	Name
23		<i>N</i> -[1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl}azetidin-3-yl]formamide
24		<i>N</i> -[1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl}azetidin-3-yl]-3,4-dihydroxybutanamide
25		methyl [1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl}azetidin-3-yl]carbamate
26		<i>N</i> -butyl-1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl}azetidin-3-amine
27		1-({4-[(2-fluoro-4-iodophenyl)amino]-3-thienyl}carbonyl)azetidin-3-amine

Table 1. Representative MEK Inhibitors

Cmpd No.	Structure	Name
28		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-[(2S)-piperidin-2-yl]azetidin-3-ol
29		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-[(2R)-piperidin-2-yl]azetidin-3-ol
30		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-pyrrolidin-2-ylazetidin-3-ol
31		(R)-1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-pyrrolidin-2-ylazetidin-3-ol
32		(S)-1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-pyrrolidin-2-ylazetidin-3-ol

Table 1. Representative MEK Inhibitors

Cmpd No.	Structure	Name
33		3-((1 <i>S</i> )-1-aminomethyl)-1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)azetidin-3-ol
34		3-((1 <i>S</i> )-1-aminoethyl)-1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)azetidin-3-ol
35		3-((1 <i>R</i> )-1-aminoethyl)-1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)azetidin-3-ol
36		(3-(1-aminopropyl)-3-hydroxyazetidin-1-yl)((3,4-difluoro-2-(2-fluoro-4-iodophenylamino)phenyl)methanone
37		(R)-3-(1-aminopropyl)-3-hydroxyazetidin-1-yl((3,4-difluoro-2-(2-fluoro-4-iodophenylamino)phenyl)methanone
38		(S)-3-(1-aminopropyl)-3-hydroxyazetidin-1-yl((3,4-difluoro-

Table 1. Representative MEK Inhibitors

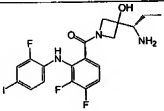
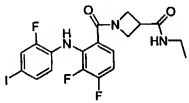
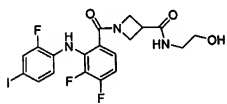
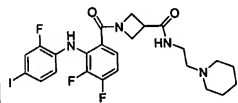
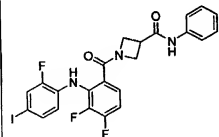
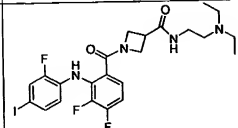
Cmpd No.	Structure	Name
		2-(2-fluoro-4-iodophenylamino)phenylmethanone
39		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-N-ethylazetidine-3-carboxamide
40		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-N-(2-hydroxyethyl)azetidine-3-carboxamide
41		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-N-(2-piperidin-1-ylethyl)azetidine-3-carboxamide
42		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-N-phenylazetidine-3-carboxamide
43		N-[2-(diethylamino)ethyl]-1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidine-3-carboxamide

Table 1. Representative MEK Inhibitors

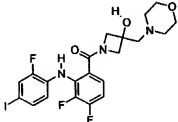
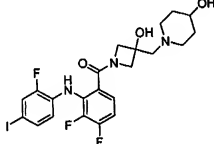
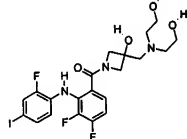
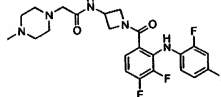
Cmpd No.	Structure	Name
44		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-(morpholin-4-ylmethyl)azetidin-3-ol
45		1-({1-[(3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl]-3-hydroxyazetidin-3-yl}methyl) piperidin-4-ol
46		3-({[bis(2-hydroxyethyl)amino]methyl}-1-[(3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl]azetidin-3-ol
47		N-[1-[(3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl]azetidin-3-yl]-2-(4-methylpiperazin-1-yl)acetamide

Table 1. Representative MEK Inhibitors

Cmpd No.	Structure	Name
48		1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)-3-((4-methylpiperazin-1-yl)methyl)azetidin-3-ol
49		1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)-3-((4-methyl-1,4-diazepan-1-yl)methyl)azetidin-3-ol
50		1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)-3-((1-methyl(1-methylpyrrolidin-3-yl)amino)methyl)azetidin-3-ol
51		3-((1,4'-bipiperidin-1'-yl)methyl)-1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)azetidin-3-ol
52		N-[1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)azetidin-3-yl]-N,N-bis(2-hydroxyethyl)glycinamide

Table 1. Representative MEK Inhibitors

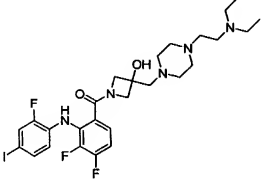
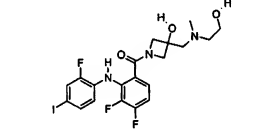
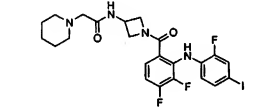
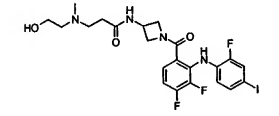
Cmpd No.	Structure	Name
53		3-((4-[2-(diethylamino)ethyl]piperazin-1-yl)methyl)-1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)azetidin-3-ol
54		1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)-3-(((2-hydroxyethyl)(methyl)amino)methyl)azetidin-3-ol
55		N-[1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)azetidin-3-yl]-2-piperidin-1-ylacetamide
56		N-[1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)azetidin-3-yl]-N3-(2-hydroxyethyl)-N3-methyl-beta-alaninamide

Table 1. Representative MEK Inhibitors

Cmpd No.	Structure	Name
57		<i>N</i> -[1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-yl]- <i>N</i> 3, <i>N</i> 3-bis(2-hydroxyethyl)-beta-alaninamide
58		<i>N</i> -[1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-yl]- <i>N</i> 2, <i>N</i> 2-diethylglycinamide
59		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)- <i>N</i> -methylazetidin-3-amine
60		1-[1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-yl]- <i>N</i> , <i>N</i> -dimethylpyrrolidin-3-amine
61		2-[[1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-yl]amino]ethanol



Table 1. Representative MEK Inhibitors

Cmpd No.	Structure	Name
62		<i>N</i> -[1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)azetidin-3-yl]propane-1,3-diamine
63		3-((dimethylamino)methyl)-1-((4-((2-fluoro-4-iodophenyl)amino)-3-thienyl)carbonyl)azetidin-3-ol
64		1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)- <i>N</i> -methyl- <i>N</i> -(2-pyridin-2-ylethyl)azetidin-3-amine
65		<i>N</i> -[1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)azetidin-3-yl]- <i>N</i> 2-methylglycinamide
66		1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)- <i>N</i> -ethylazetidin-3-amine

Table 1. Representative MEK Inhibitors

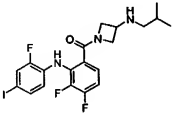
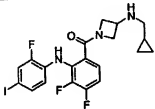
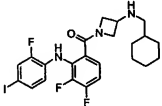
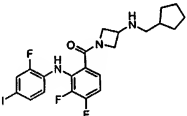
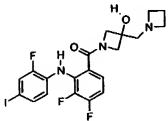
Cmpd No.	Structure	Name
67		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-N-(2-methylpropyl)azetidin-3-amine
68		N-(cyclopropylmethyl)-1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-amine
69		N-(cyclohexylmethyl)-1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-amine
70		N-(cyclopentylmethyl)-1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-amine
71		3-(azetidin-1-ylmethyl)-1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-ol

Table 1. Representative MEK Inhibitors

Cmpd No.	Structure	Name
72		1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)-N-((2,3-dihydroxypropyl)oxy)azetidine-3-carboxamide
73		2-((1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)azetidin-2-yl)methyl)aminoethanol
74		N-((1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)azetidin-2-yl)methyl)ethane-1,2-diamine
75		N-((1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)azetidin-3-yl)glycinamide
76		6-((3-((dimethylamino)methyl)azetidin-1-yl)carbonyl)-2,3-difluoro-N-(2-fluoro-4-iodophenyl)aniline

Table 1. Representative MEK Inhibitors

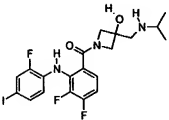
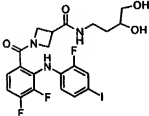
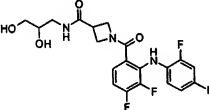
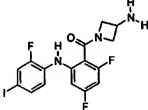
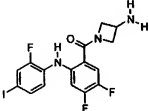
Cmpd No.	Structure	Name
77		1-({[3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl]carbonyl}-3-[(1-methylethyl)amino]methyl)azetidin-3-ol
78		1-({[3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl]carbonyl}-N-(3,4-dihydroxybutyl)azetidine-3-carboxamide
79		1-({[3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl]carbonyl}-N-(2,3-dihydroxypropyl)azetidine-3-carboxamide
80		1-({[2,4-difluoro-6-[(2-fluoro-4-iodophenyl)amino]phenyl]carbonyl}azetidin-3-amine
81		1-({[4,5-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl]carbonyl}azetidin-3-amine

Table 1. Representative MEK Inhibitors

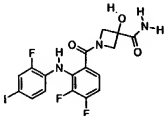
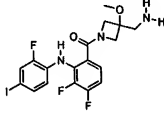
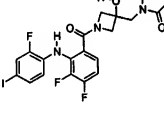
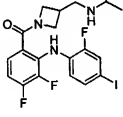
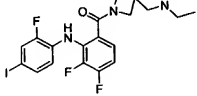
Cmpd No.	Structure	Name
82		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-hydroxyazetidine-3-carboxamide
83		6-({[3-(aminomethyl)-3-(methoxy)azetidin-1-yl]carbonyl}-2,3-difluoro-N-(2-fluoro-4-iodophenyl)aniline
84		N-({[1-(3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)-3-hydroxyazetidin-3-yl]methyl}acetamide
85		2,3-difluoro-N-(2-fluoro-4-iodophenyl)-6-({[3-((1-methylethyl)amino)methyl]azetidin-1-yl}carbonyl)aniline
86		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-[(ethylamino)methyl]azetidin-3-ol

Table 1. Representative MEK Inhibitors

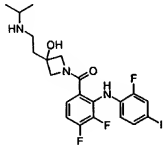
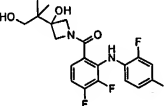
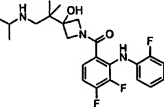
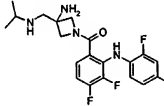
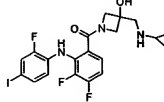
Cmpd No.	Structure	Name
87		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-{2-[(1-methylethyl)amino]ethyl}azetidin-3-ol
88		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-(2-hydroxy-1,1-dimethylethyl)azetidin-3-ol
89		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-{1,1-dimethyl-2-[(1-methylethyl)amino]ethyl}azetidin-3-ol
90		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-[[[(1-methylethyl)amino]methyl]azetidin-3-amine
91		3-[(cyclopropylamino)methyl]-1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-ol

Table 1. Representative MEK Inhibitors

Cmpd No.	Structure	Name
92		1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)-3-((2,2,2-trifluoroethyl)amino)methylazetidin-3-ol
93		1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)-3-((1H-imidazol-1-yl)methyl)azetidin-3-ol
94		1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)-3-(((1,1-dimethylethyl)amino)methyl)azetidin-3-ol
95		3-((cyclopentylamino)methyl)-1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)azetidin-3-ol
96		1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)-3-hydroxy-N-prop-2-en-1-ylazetidine-3-carboxamide

Table 1. Representative MEK Inhibitors

Cmpd No.	Structure	Name
97		1-({3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl}carbonyl)-N-(2,3-dihydroxypropyl)-3-hydroxyazetidine-3-carboxamide
98		1-({3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl}carbonyl)-3-((1H-1,2,3-triazol-1-ylmethyl)azetidin-3-ol
99		1-({3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl}carbonyl)-3-(((2,2-dimethylpropyl)amino)methyl)azetidin-3-ol
100		1-({3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl}carbonyl)-3-((propylamino)methyl)azetidin-3-ol
101		1-({3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl}carbonyl)-3-(((2-methylpropyl)amino)methyl)azetidin-3-ol



Table 1. Representative MEK Inhibitors

Cmpd No.	Structure	Name
102		3-[[[(cyclopropylmethyl)amino]methyl]-1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl]azetidin-3-ol
103		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl)-3-[[[phenyl(methyl)amino]methyl]azetidin-3-ol
104		3-[[[(cyclohexylmethyl)amino]methyl]-1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl]azetidin-3-ol
105		3-[(butylamino)methyl]-1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl]azetidin-3-ol
106		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl)-3-[[[1-ethylpyrrolidin-2-yl)methyl]amino]methyl]azetidin-3-ol

Table 1. Representative MEK Inhibitors

Cmpd No.	Structure	Name
107		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-{{(2-hydroxyethyl)amino}methyl}azetidin-3-ol
108		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-{{(2-(dimethylamino)ethyl)amino}methyl}azetidin-3-ol
109		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-{{(2-hydroxy-1,1-dimethylethyl)amino}methyl}azetidin-3-ol
110		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-{{(2-(4-methylphenyl)ethyl)amino}methyl}azetidin-3-ol
111		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-[(prop-2-en-1-ylamino)methyl]azetidin-3-ol

Table 1. Representative MEK Inhibitors

Cmpd No.	Structure	Name
112		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-({[2-(1-methylpyrrolidin-2-yl)ethyl]amino}methyl)azetidin-3-ol
113		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-({[2,3-dihydro-1H-inden-2-ylamino]methyl}azetidin-3-ol
114		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-({[(tetrahydrofuran-2-yl)methyl]amino}methyl)azetidin-3-ol
115		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-({[2-(tetrahydro-2H-pyran-4-yl)ethyl]amino}methyl)azetidin-3-ol
116		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-({[(1S,2S)-2-hydroxycyclopentyl]amino}methyl)azetidin-3-ol

Table 1. Representative MEK Inhibitors

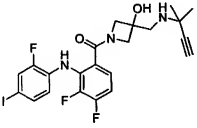
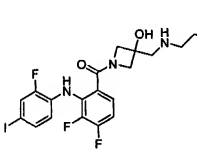
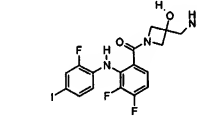
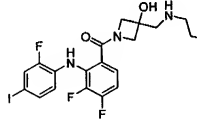
Cmpd No.	Structure	Name
117		1-({3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl}carbonyl)-3-((1,1-dimethylprop-2-yn-1-yl)amino)methyl)azetidin-3-ol
118		1-({3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl}carbonyl)-3-((1-(pyrrolidin-1-yl)propyl)amino)methyl)azetidin-3-ol
119		1-({3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl}carbonyl)-3-((1,2-dimethylpropyl)amino)methyl)azetidin-3-ol
120		1-({3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl}carbonyl)-3-((12-(1H-imidazol-4-yl)ethyl)amino)methyl)azetidin-3-ol

Table 1. Representative MEK Inhibitors

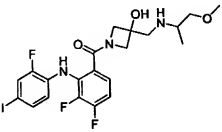
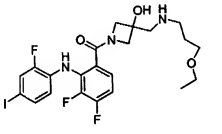
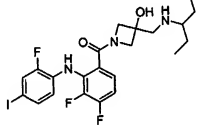
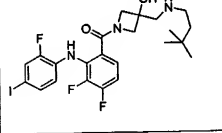
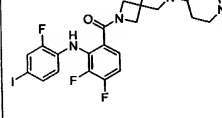
Cmpd No.	Structure	Name
121		1-({3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl} carbonyl)-3-((1-methyl-2-(methyloxy)ethyl)amino) methyl]azetidin-3-ol
122		1-({3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl} carbonyl)-3-((3-(ethyloxy)propyl)amino) methyl]azetidin-3-ol
123		1-({3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl} carbonyl)-3-((1-ethylpropyl)amino) methyl]azetidin-3-ol
124		1-({3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl} carbonyl)-3-((3,3-dimethylbutyl)amino) methyl]azetidin-3-ol
125		ethyl 4-((1-({3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl} carbonyl)-3-hydroxyazetidin-3-yl)methyl)amino)piperidine-1-carboxylate

Table 1. Representative MEK Inhibitors

Cmpd No.	Structure	Name
126		1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)-3-((3-methylbutyl)amino)methyl]azetidin-3-ol
127		1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)-3-((2-(ethyloxy)ethyl)amino)methyl]azetidin-3-ol
128		1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)-3-((3-(dimethylamino)propyl)amino)methyl]azetidin-3-ol
129		3-((cyclobutylamino)methyl)-1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)azetidin-3-ol
130		3-((3-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)azetidin-3-ol

Table 1. Representative MEK Inhibitors

Cmpd No.	Structure	Name
131		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-({[3-(1H-imidazol-1-yl)propyl]amino}methyl)azetidin-3-ol
132		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-({[2-(methylthio)ethyl]amino}methyl)azetidin-3-ol
133		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-({[1-(phenylmethyl)piperidin-4-yl]amino}methyl)azetidin-3-ol
134		3-({[2,2-bis(methyloxy)ethyl]amino}methyl)-1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-ol
135		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-({[1,1,3,3-tetramethylbutyl]amino}methyl)azetidin-3-ol

Table 1. Representative MEK Inhibitors

Cmpd No.	Structure	Name
136		1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)-3-(((1,1-dimethylpropyl)amino)methyl)azetidin-3-ol
137		1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)-3-(((2,3-dihydro-1H-inden-1-yl)amino)methyl)azetidin-3-ol
138		1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)-3-(((2-((phenylmethyl)oxy)cyclopentyl)amino)methyl)azetidin-3-ol
139		3-(((3-amino-2-hydroxypropyl)amino)methyl)-1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)azetidin-3-ol
140		1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)-3-(((2-hydroxy-1-(phenylmethyl)ethyl)amino)methyl)azetidin-3-ol



Table 1. Representative MEK Inhibitors

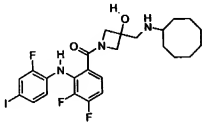
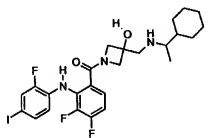
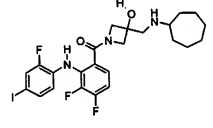
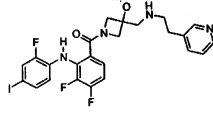
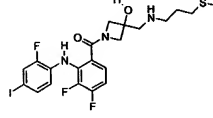
Cmpd No.	Structure	Name
141		3-((cyclooctylamino)methyl)-1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-ol
142		3-(((1-cyclohexylethyl)amino)methyl)-1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-ol
143		3-((cycloheptylamino)methyl)-1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-ol
144		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-(((2-pyridin-3-ylethyl)amino)methyl)azetidin-3-ol
145		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-(((3-(methylthio)propyl)amino)methyl)azetidin-3-ol

Table 1. Representative MEK Inhibitors

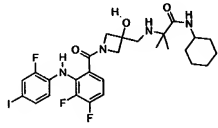
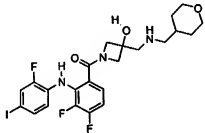
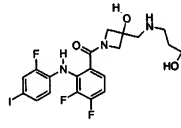
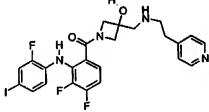
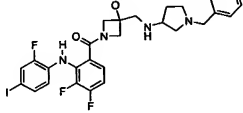
Cmpd No.	Structure	Name
146		N-cyclohexyl-N-2-~{[1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl]-3-hydroxyazetidin-3-yl)methyl}-2-methylalaninamide
147		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl)-3-~{[(tetrahydro-2H-pyran-4-yl)methyl]amino)methyl}azetidin-3-ol
148		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl)-3-~{[(3-hydroxypropyl)amino)methyl}azetidin-3-ol
149		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl)-3-~{[(2-pyridin-4-ylethyl)amino)methyl}azetidin-3-ol
150		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl)-3-~{[(1-phenylmethyl)pyrrolidin-3-yl]amino)methyl}azetidin-3-ol

Table 1. Representative MEK Inhibitors

Cmpd No.	Structure	Name
151		1-({3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl}carbonyl)-3-((2-(2-thienyl)ethyl)amino)methyl)azetidin-3-ol
152		3-(((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)-1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)azetidin-3-ol
153		1-({3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl}carbonyl)-3-((2-(phenyloxy)ethyl)amino)methyl)azetidin-3-ol
154		1-({3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl}carbonyl)-3-((phenylamino)methyl)azetidin-3-ol
155		1-({3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl}carbonyl)-3-((2-hydroxypropyl)amino)methyl)azetidin-3-ol

Table 1. Representative MEK Inhibitors

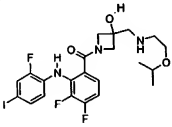
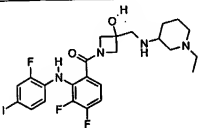
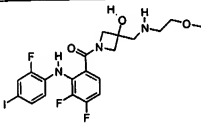
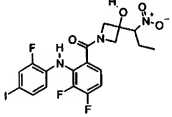
Cmpd No.	Structure	Name
156		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-[[2-[(1-methylethyl)oxy]ethyl]amino]methyl]azetidin-3-ol
157		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-[[1-(1-ethylpiperidin-3-yl)amino]methyl]azetidin-3-ol
158		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-[[2-(methyloxy)ethyl]amino]methyl]azetidin-3-ol
159		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-[(1-nitropropyl)azetidin-3-ol

Table 1. Representative MEK Inhibitors

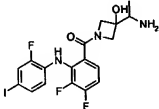
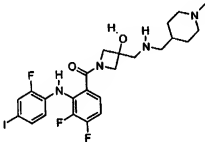
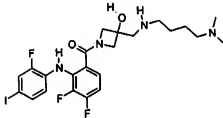
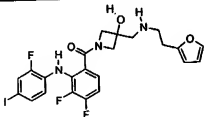
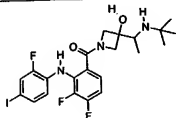
Cmpd No.	Structure	Name
160		3-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-ol
161		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-({[(1-methylpiperidin-4-yl)methyl]amino}methyl)azetidin-3-ol
162		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-({[4-(dimethylamino)butyl]amino}methyl)azetidin-3-ol
163		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-({[(2-furan-2-ylethyl)amino]methyl}azetidin-3-ol
164		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-{1-[(1,1-dimethylethyl)amino]ethyl}azetidin-3-ol

Table 1. Representative MEK Inhibitors

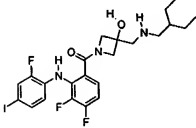
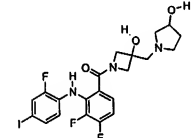
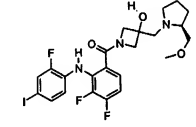
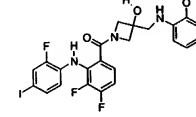
Cmpd No.	Structure	Name
165		1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)-3-(((2-ethylbutyl)amino)methyl)azetidin-3-ol
166		1-([1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)-3-hydroxyazetidin-3-yl)methyl]pyrrolidin-3-ol
167		1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)-3-(((2S)-2-((methyloxy)methyl)pyrrolidin-1-yl)methyl)azetidin-3-ol
168		1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)-3-(((2-hydroxyphenyl)amino)methyl)azetidin-3-ol

Table 1. Representative MEK Inhibitors

Cmpd No.	Structure	Name
169		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-[[4-(4-hydroxyphenyl)amino]methyl]azetidin-3-ol
170		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-[[3-(3-hydroxyphenyl)amino]methyl]azetidin-3-ol
171		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-[[phenyloxy]methyl]azetidin-3-ol
172		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-[[1,3r,5R,7R]-tricyclo[3.3.1.1 <sup>3,7</sup> ]dec-2-ylamino]methyl]azetidin-3-ol
173		3-([1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-hydroxyazetidin-3-yl]methyl)amino]propane-1,2-diol

Table 1. Representative MEK Inhibitors

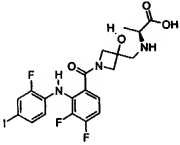
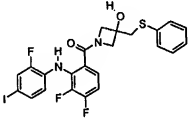
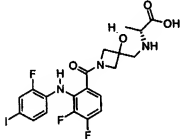
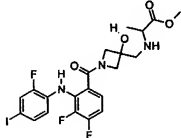
Cmpd No.	Structure	Name
174		<i>N</i> -([1-({3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl}-3-hydroxyazetidin-3-yl)methyl]-L-alanine
175		1-([1-({3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl}-3-[(phenylthio)methyl]azetidin-3-ol
176		<i>N</i> -([1-([1-({3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl]-3-hydroxyazetidin-3-yl)methyl]-D-alanine
177		methyl <i>N</i> -([1-([1-({3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl]-3-hydroxyazetidin-3-yl)methyl]alaninate



Table 1. Representative MEK Inhibitors

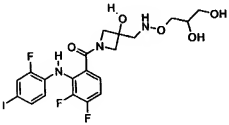
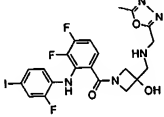
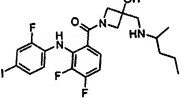
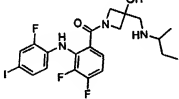
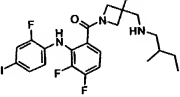
Cmpd No.	Structure	Name
178		3-[(1-((3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl)-3-hydroxyazetidin-3-yl)methyl]amino]oxy]propane-1,2-diol
179		1-((3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl)-3-(((5-methyl-1,3,4-oxadiazol-2-yl)methyl)amino)methyl]azetidin-3-ol
180		1-((3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl)-3-(((1-methylbutyl)amino)methyl)azetidin-3-ol
181		1-((3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl)-3-(((1-methylpropyl)amino)methyl)azetidin-3-ol
182		1-((3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl)-3-(((2-methylbutyl)amino)methyl)azetidin-3-ol

Table 1. Representative MEK Inhibitors

Cmpd No.	Structure	Name
183		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-[(pentylamino)methyl]azetidin-3-ol
184		3-[(1S)-1-aminoethyl]-1-({8-fluoro-7-[(2-fluoro-4-iodophenyl)amino]imidazo[1,2-a]pyridin-6-yl}carbonyl)azetidin-3-ol
185		1-({8-fluoro-7-[(2-fluoro-4-iodophenyl)amino]imidazo[1,2-a]pyridin-6-yl}carbonyl)-3-[(1S)-1-(methylamino)ethyl]azetidin-3-ol
186		3-[(cyclohexylamino)methyl]-1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-ol
187		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-[1-(ethylamino)ethyl]azetidin-3-ol

Table 1. Representative MEK Inhibitors

Cmpd No.	Structure	Name
188		3-[(azepan-3-ylamino)methyl]-1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-ol
189		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-({[2-(dimethylamino)-1-methylethyl]amino}methyl)azetidin-3-ol
190		N-cyclopropyl-1-({[1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-hydroxyazetidin-3-yl]methyl}amino)cyclopentanecarboxamide
191		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-({[2-(2,3-dihydro-1H-indol-3-yl)ethyl]amino}methyl)azetidin-3-ol

Table 1. Representative MEK Inhibitors

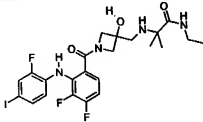
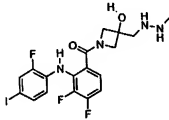
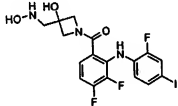
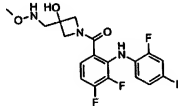
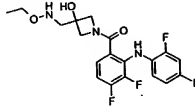
Cmpd No.	Structure	Name
192		N-2-((1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)-3-hydroxyazetidin-3-yl)methyl)-N-ethyl-2-methylalaninamide
193		1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)-3-((2-methylhydrazino)methyl)azetidin-3-ol
194		1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)-3-((hydroxyamino)methyl)azetidin-3-ol
195		1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)-3-(((methoxy)amino)methyl)azetidin-3-ol
196		1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)-3-(((ethoxy)amino)methyl)azetidin-3-ol

Table 1. Representative MEK Inhibitors

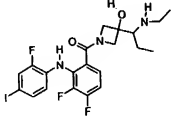
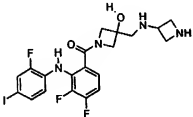
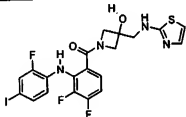
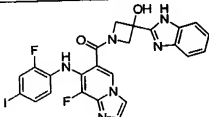
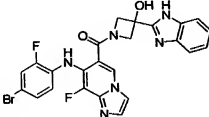
Cmpd No.	Structure	Name
197		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-[1-(ethylamino)propyl]azetidin-3-ol
198		3-[(azetidin-3-ylamino)methyl]-1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-ol
199		1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-[(1,3-thiazol-2-ylamino)methyl]azetidin-3-ol
200		3-(1H-benzimidazol-2-yl)-1-({8-fluoro-7-[(2-fluoro-4-iodophenyl)amino]imidazo[1,2-a]pyridin-6-yl}carbonyl)azetidin-3-ol
201		3-(1H-benzimidazol-2-yl)-1-({7-[(4-bromo-2-fluorophenyl)amino]-8-fluoroimidazo[1,2-a]pyridin-6-yl}carbonyl)azetidin-3-ol

Table 1. Representative MEK Inhibitors

Cmpd No.	Structure	Name
202		1,1-dimethylethyl 3-((1-(3,4-difluoro-2-iodophenyl)amino)phenyl)carbonyl)-3-hydroxyazetidin-3-yl)methyl)amino)propyl)carbamate
203		1-((3,4-difluoro-2-iodophenyl)amino)phenyl)carbonyl)-3-((pyrrolidin-2-yl)methyl)amino)methyl)azetidin-3-ol
204		1,1-dimethylethyl 4-((1-(3,4-difluoro-2-iodophenyl)amino)phenyl)carbonyl)-3-hydroxyazetidin-3-yl)methyl)amino)methyl)piperidine-1-carboxylate
205		1-((3,4-difluoro-2-iodophenyl)amino)phenyl)carbonyl)-3-((1-(2-hydroxyphenyl)methyl)amino)methyl)azetidin-3-ol

Table 1. Representative MEK Inhibitors

Cmpd No.	Structure	Name
206		1-({3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl}carbonyl)-3-(((3-hydroxyphenyl)methyl)amino)methyl)azetidin-3-ol
207		1-({3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl}carbonyl)-3-(((4-hydroxyphenyl)methyl)amino)methyl)azetidin-3-ol
208		1-({3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl}carbonyl)-3-(((4-hydroxybutyl)amino)methyl)azetidin-3-ol
209		1-({3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl}carbonyl)-3-(((2-hydroxyethyl)oxy)methyl)azetidin-3-ol

Table 1. Representative MEK Inhibitors

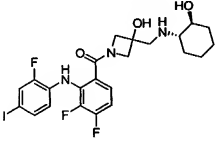
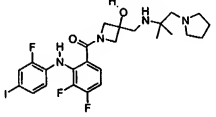
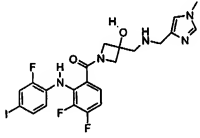
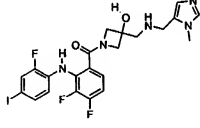
Cmpd No.	Structure	Name
210		1-({[3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl]carbonyl}-3-(((1 <i>S</i> ,2 <i>S</i> )-2-hydroxycyclohexyl)amino)methyl)azetidin-3-ol
211		1-({[3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl]carbonyl}-3-(((1,1-dimethyl-2-pyrrolidin-1-ylethyl)amino)methyl)azetidin-3-ol
212		1-({[3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl]carbonyl}-3-(((1-methyl-1 <i>H</i> -imidazol-4-yl)methyl)amino)methyl)azetidin-3-ol
213		1-({[3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl]carbonyl}-3-(((1-methyl-1 <i>H</i> -imidazol-5-yl)methyl)amino)methyl)azetidin-3-ol



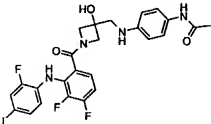
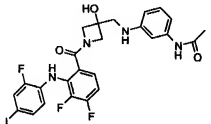
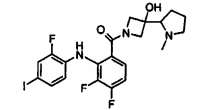
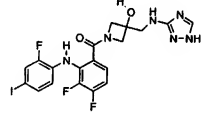
Table 1. Representative MEK Inhibitors

Cmpd No.	Structure	Name
214		1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)-3-(((2S)-2-(methyloxy)cyclopentyl)amino)methyl)azetidin-3-ol
215		3-([1,1'-bi(cyclohexyl)-2-ylamino)methyl)-1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)azetidin-3-ol
216		1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)-3-(((3-(methyloxy)phenyl)amino)methyl)azetidin-3-ol
217		1-((1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)-3-hydroxyazetidin-3-yl)methyl)amino)cyclopentanecarboxylic acid

Table 1. Representative MEK Inhibitors

Cmpd No.	Structure	Name
218		1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)-3-(((4-fluorophenyl)amino)methyl)azetidin-3-ol
219		1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)-3-(((1,3,5-triazin-2-ylamino)methyl)azetidin-3-ol
220		1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)-3-(((trans-4-hydroxycyclohexyl)amino)methyl)azetidin-3-ol
221		3-((cyclopent-3-en-1-ylamino)methyl)-1-((3,4-difluoro-2-((2-fluoro-4-iodophenyl)amino)phenyl)carbonyl)azetidin-3-ol

Table 1. Representative MEK Inhibitors

Cmpd No.	Structure	Name
222		N-[4-({[1-({[3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl]-3-hydroxyazetidin-3-yl]methyl)amino]phenyl]acetamide
223		N-[3-({[1-({[3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl]-3-hydroxyazetidin-3-yl]methyl)amino]phenyl]acetamide
224		1-({[3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl]-3-(1-methylpyrrolidin-2-yl)azetidin-3-ol
225		1-({[3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl]-3-[(1H-1,2,4-triazol-3-ylamino)methyl]azetidin-3-ol